

chain nodes :

12 13 14 16 17 18 19 20 21 22 23 24 25

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 31 32 33 34 35 36 37 38 39 40
41 42 43 44 45 46

chain bonds :

2-16 5-8 9-12 11-13 13-14 17-18 18-19 20-21 22-23 24-25

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 31-32 31-34
32-33 33-34 35-36 35-39 36-37 37-38 38-39 40-41 40-45 41-42
41-46 42-43 43-44 44-45 44-46

exact/norm bonds :

2-16 5-8 7-8 8-9 9-12 13-14 17-18 18-19 20-21 22-23 24-25
31-32 31-34 32-33 33-34 35-36 35-39 36-37 37-38 38-39 40-41
40-45 41-42 41-46 42-43 43-44 44-45 44-46

exact bonds :

7-11 9-10 10-11 11-13

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 7 :

G1:[*1],[*2],[*3],[*4]

G2:[*5],[*6],[*7]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:CLASS 13:CLASS 14:CLASS 16:Atom 17:CLASS
18:CLASS 19:CLASS 20:CLASS 21:Atom 22:CLASS 23:Atom 24:CLASS
25:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom
38:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom
46:Atom

09/736,858

=> d his

(FILE 'HOME' ENTERED AT 21:21:50 ON 21 APR 2002)

FILE 'REGISTRY' ENTERED AT 21:25:53 ON 21 APR 2002

L1 STRUCTURE UPLOADED

L2 QUE L1

L3 50 S L2

FILE 'STNGUIDE' ENTERED AT 21:26:19 ON 21 APR 2002

FILE 'REGISTRY' ENTERED AT 21:28:43 ON 21 APR 2002

L4 STRUCTURE UPLOADED

L5 QUE L4

L6 3 S L5

L7 1889 S L2 SSS FUL

L8 53 S L5 SUB=L7 FUL

FILE 'CAPLUS' ENTERED AT 21:30:19 ON 21 APR 2002

L9 27 S L8

=> d bib abs hitstr 19 1-27

09/736,858

LE ANSWER 1 OF 27 CAPLUS COPYRIGHT 2002 ACS

AN 2002:157609 CAPLUS

DN 136:205434

TI Solution composition of an oxazolidinone antibiotic drug having enhanced drug loading

IN Sims, Sandra M.

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002015940	A2	20020228	WO 2001-US25932	20010820
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,				
	PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,				
	US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2000-226846P P 20000822

US 2001-285347P P 20010420

OS MARPAT 136:205434

AB There is provided a pharmaceutical compn. for therapeutic or prophylactic administration to a subject having an infective disease or condition or at risk thereof. The compn. comprises an aq. carrier having in soln. therein (a) an oxazolidinone antimicrobial drug, for example linezolid, in a therapeutically or prophylactically effective drug concn. that is above the practical limit of soly. of the drug in a substantially isotonic aq. soln. at a physiol. compatible pH, and (b) a pharmaceutically acceptable cyclodextrin compd. in a concn. sufficient to maintain the drug in soln. at such a drug concn. The compn. is particularly useful for i.v. delivery of the drug. Solns. were prepd. contg. linezolid and sulfobutyl ether of .beta.-cyclodextrin.

IT 383199-88-0

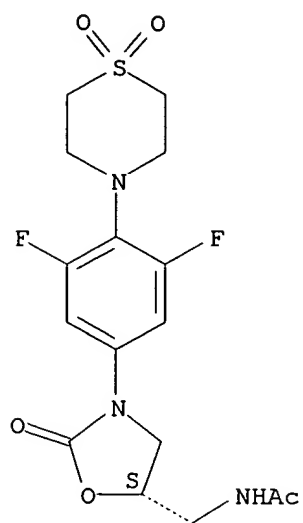
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(soln. compn. of an oxazolidinone antibiotic drug having enhanced drug loading)

RN 383199-88-0 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/736,858

~~IN~~ ANSWER 2 OF 27 CAPLUS COPYRIGHT 2002 ACS

~~IN~~ 2002:31261 CAPLUS

DN 136:79735

TI Method using an oxazolidinone antibacterial agent, alone or with an exogenous lactoferrin, for treatment and prevention of mastitis

IN Watts, Jeffrey L.; Sanchez, Margaret S.

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002121	A2	20020110	WO 2001-US16496	20010625
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2000-215900P P 20000705

AB A method is provided for treatment or prevention of mastitis in mammals with known oxazolidinone antibacterial agents, either alone or in combination with exogenous lactoferrins.

IT **168828-58-8**

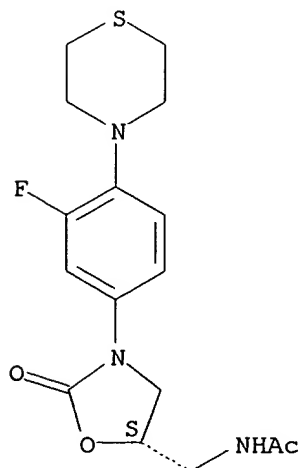
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(oxazolidinone antibacterial agent, alone or with exogenous lactoferrin, for treatment and prevention of mastitis)

RN 168828-58-8 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/736,858

~~19~~ ANSWER 3 OF 27 CAPLUS COPYRIGHT 2002 ACS

AN 2002:31236 CAPLUS

DN 136:79733

TI Antibacterial compositions and methods for treating bacterial infections

IN Batts, Donald H.; Hiramatsu, Keiichi

PA Pharmacia + Upjohn, USA

SO PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002095	A2	20020110	WO 2001-US19712	20010621
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 2002022610	A1	20020221	US 2001-886641	20010621
PRAI	US 2000-215418P	P	20000630		
	US 2000-232773P	P	20000915		
	US 2001-279306P	P	20010328		

OS MARPAT 136:79733

AB A compn. having antibacterial activity is disclosed. More particularly, a mixt. of an oxazolidinone compd., sulbactam, and ampicillin active agents, demonstrating activity against resistant strains of bacteria is disclosed. Methods for using an oxazolidinone compd., sulbactam, and ampicillin to treat a bacterial infection are also described. Synergistic activity of linezolid with sulbactam and ampicillin against Staphylococcus aureus was shown.

IT **188974-61-0 387822-20-0**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

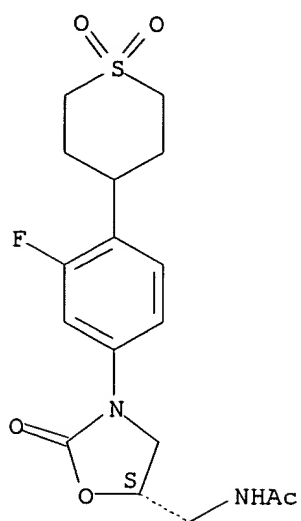
(antibacterial compns. and methods for treating bacterial infections)

RN 188974-61-0 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

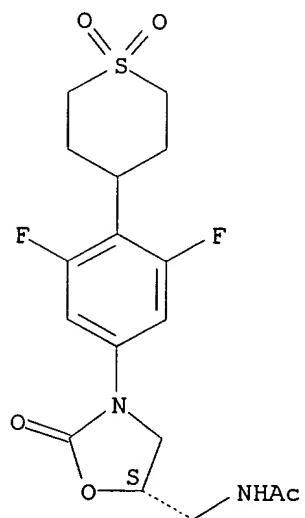
09/736,858



RN 387822-20-0 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3,5-difluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/736,858

~~IS~~ ANSWER 4 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2001:935600 CAPLUS
DN 136:69815

TI Preparation and formulation of N-[[[(5S)-3-[4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide as a gram positive bactericide

IN Barbachyn, Michael R.; Zurenko, Gary E.

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001098297	A2	20011227	WO 2001-US14854	20010614
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2000-212474P P 20000616

US 2000-236595P P 20000929

US 2001-285587P P 20010420

AB The title compd. (I) was prepd. Thus, benzyl 3,5-difluoro-4-(4-thiomorpholinyl)phenylcarbamate (prepn. given) was cyclocondensed with (S)-N-(2-acetyloxy-3-chloropropyl)acetamide and the product oxidized to give I. Data for biol. activity of I were given.

IT 383199-88-0P

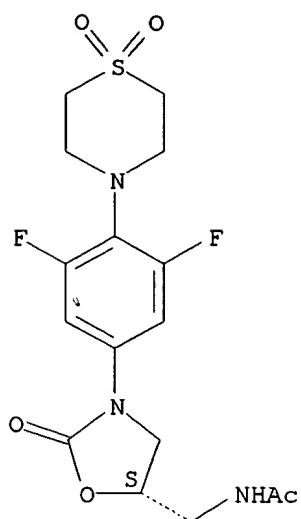
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and formulation of N-[[[(5S)-3-[4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide as a gram pos. bactericide)

RN 383199-88-0 CAPLUS

CN Acetamide, N-[[[(5S)-3-[4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **383199-87-9P**

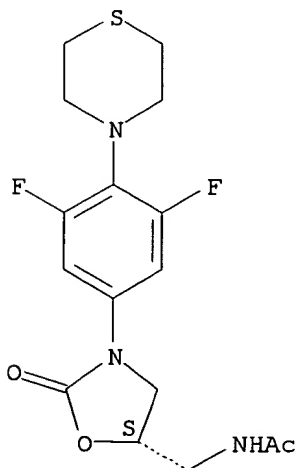
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and formulation of N-[[[5S]-3-[4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide as a gram pos. bactericide)

RN 383199-87-9 CAPLUS

CN Acetamide, N-[[[5S]-3-[3,5-difluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/736,858

~~LP~~ ANSWER 5 OF 27 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2001:869016 CAPLUS

DN 136:699

TI Treatment of urinary tract infections with antibacterial oxazolidinones

IN Batts, Donald Herman

PA USA

SO U.S. Pat. Appl. Publ., 7 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001046992	A1	20011129	US 2001-809447	20010315
PRAI	US 2000-190961P	P	20000322		

AB A method is provided for treating a warm-blooded mammal having a urinary tract infection caused by a Gram-pos. organism, which comprises administering a urinary therapeutically effective amt. of an antibacterial oxazolidinone. Linezolid formulations are included.

IT **188974-61-0**

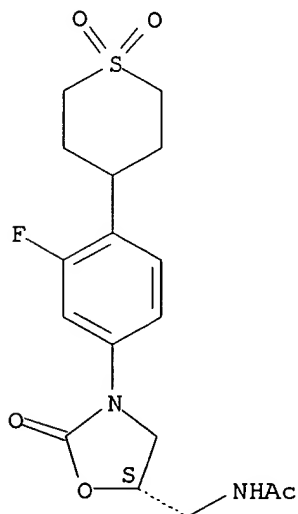
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(oxazolidinones for treatment of urinary tract infections)

RN 188974-61-0 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



09/736,858

~~IS~~ ANSWER 6 OF 27 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2001:713138 CAPLUS

DN 135:262251

TI Oxazolidinone tablet formulation

IN Lin, Homer; Yamamoto, Ken

PA Pharmacia + Upjohn Company, USA

SO PCT Int. Appl., 23 pp.

CODEN: PIXXD2

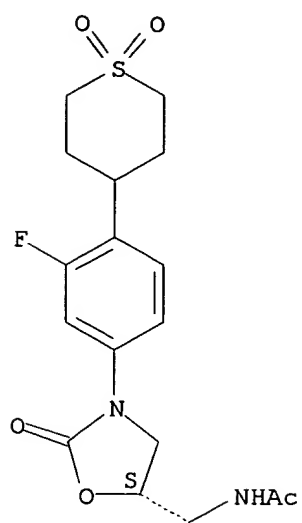
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2001070225	A2	20010927	WO 2001-US5812	20010315
	WO 2001070225	A3	20011213		
	W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
	US 2001051647	A1	20011213	US 2001-809696	20010315
PRAI	US 2000-190969P	P	20000322		
AB	The present invention provides a compressed tablet of an antibacterial oxazolidinone agent which provides high drug load and excellent bioavailability. A tablet contained linezolid 600.0, corn starch 60.0, microcryst. cellulose 117.6, hydroxypropyl cellulose 12.0, sodium starch glycolate 42.0, magnesium stearate 8.4, Opadry White YS-1 25.2, water 193.9, and carnauba wax 0.0336 mg.				
IT	188974-61-0 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (oxazolidinone tablet formulation)				
RN	188974-61-0 CAPLUS				
CN	Acetamide, N-[[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)				

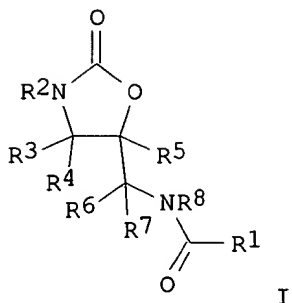
Absolute stereochemistry. Rotation (-).



09/736,858

~~IS~~ ANSWER 7 OF 27 CAPLUS COPYRIGHT 2002 ACS
~~AN~~ 2001:488530 CAPLUS
DN 135:92625
TI Preparation of 5-acylaminomethyloxazolidin-2-ones as Factor Xa inhibitors.
IN Straub, Alexander; Lampe, Thomas; Pohlmann, Jens; Roehrig, Susanne;
Perzborn, Elisabeth; Schlemmer, Karl-heinz
PA Bayer A.-G., Germany
SO Ger. Offen., 34 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19962924	A1	20010705	DE 1999-19962924	19991224
	WO 2001047919	A1	20010705	WO 2000-EP12492	20001211
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
PRAI	DE 1999-19962924	A	19991224		
OS	MARPAT 135:92625				
GI					



AB Title compds. [I; R1 = (substituted) thienyl, benzothienyl; R2 = org. residue; R3-R8 = H, alkyl; with exceptions], were prepd. Thus, (5S)-5-(aminomethyl)-3-(3-fluoro-4-morpholinophenyl)-1,3-oxazolidin-2-one, 5-chlorothiophene-2-carboxylic acid, hydroxybenzotriazole, EDCI, and diisopropylethylamine were stirred overnight in DMF to give 61.5% 5-chloro-N-[[[(5S)-3-(3-fluoro-4-morpholinophenyl)-2-oxo-1,3-oxazolidin-5-yl]methyl]-2-thiophenecarboxamide. 5-Chloro-N-[[[(5S)-2-oxo-3-[4-(2-oxo-1-pyrrolidinyl)phenyl]-1,3-oxazolidin-5-yl]methyl]-2-thiophenecarboxamide (prepn. given) inhibited Factor Xa with IC50 = 4 nM.

IT **348626-09-5P 348626-10-8P 348626-11-9P**
348626-20-0P 348626-21-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

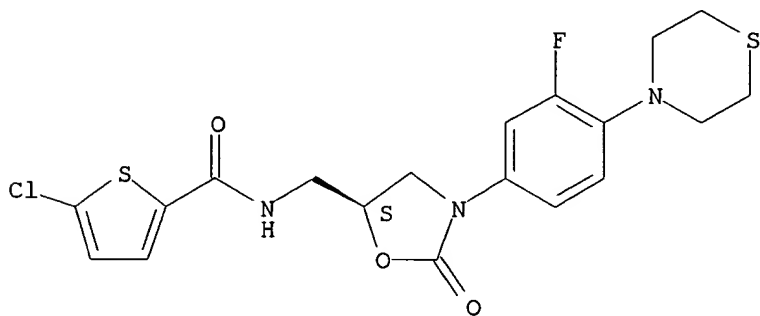
09/736,858

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-acylaminomethyloxazolidin-2-ones as Factor Xa inhibitors)

RN 348626-09-5 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

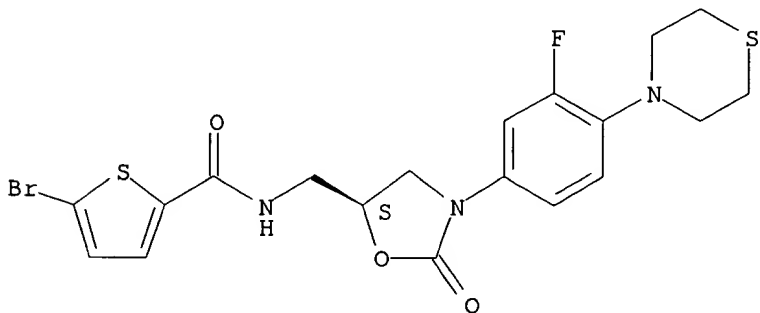
Absolute stereochemistry.



RN 348626-10-8 CAPLUS

CN 2-Thiophenecarboxamide, 5-bromo-N-[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

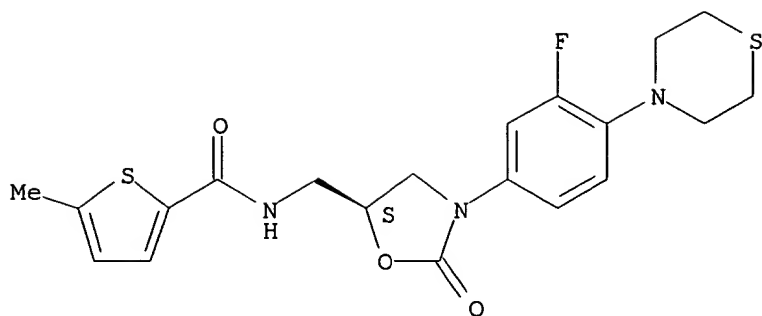


RN 348626-11-9 CAPLUS

CN 2-Thiophenecarboxamide, N-[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

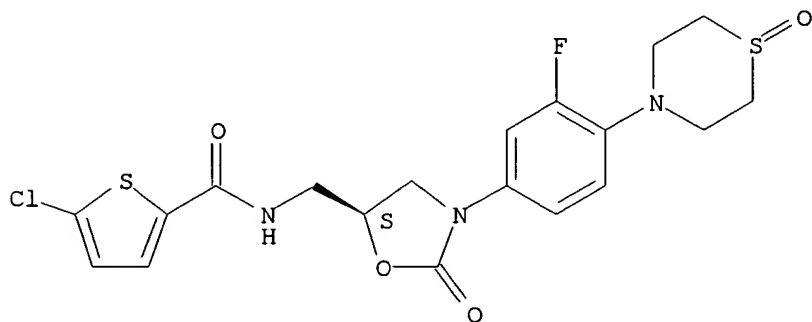
09/736,858



RN 348626-20-0 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[[(5S)-3-[3-fluoro-4-(1-oxido-4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)

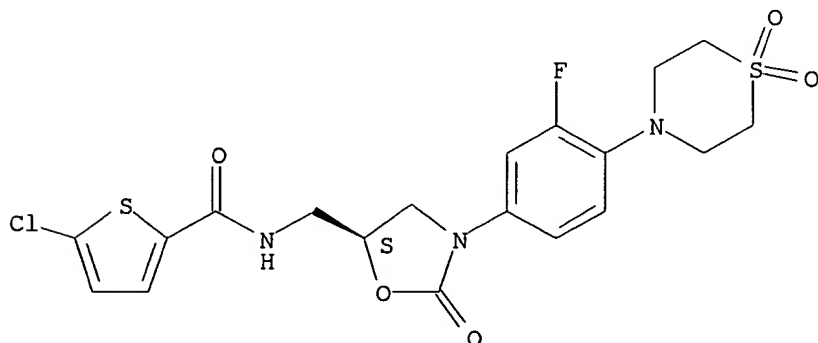
Absolute stereochemistry.



RN 348626-21-1 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[[(5S)-3-[4-(1,1-dioxido-4-thiomorpholinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)

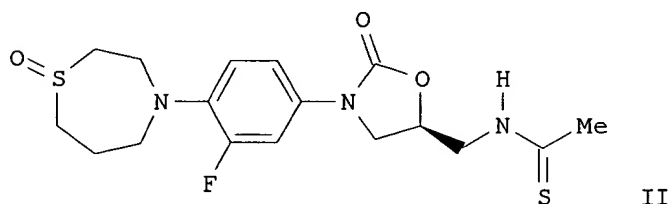
Absolute stereochemistry.



09/736,858

~~LA~~ ANSWER 8 OF 27 CAPLUS COPYRIGHT 2002 ACS
~~AN~~ 2001:482178 CAPLUS
DN 135:76881
TI Preparation of N-(oxooxazolidinylmethyl)thioamides and analogs as bactericides
IN Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles; Poel, Toni-Jo
PA Pharmacia & Upjohn Company, USA
SO U.S., 93 pp., Cont.-in-part of U.S. 6,218,413.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6255304	B1	20010703	US 1998-200904	19981127
	US 6218413	B1	20010417	US 1998-80751	19980518
	US 6362189	B1	20020326	US 2000-712055	20001114
	US 6342513	B1	20020129	US 2000-713739	20001115
	US 2001041728	A1	20011115	US 2001-822072	20010330
	US 2002016323	A1	20020207	US 2001-822666	20010330
PRAI	US 1997-48342P	P	19970530		
	US 1998-80751	A2	19980518		
	US 1998-200904	A3	19981127		
OS	MARPAT 135:76881				
GI					



AB RZZ1CH2NHCSR1 [I; R = e.g., N-attached-(oxo)thiaazacycloalkyl; R1 = H, (alkyl)amino, alkyl, alkoxy, etc.; Z = e.g., fluorophenylene; Z1 = e.g., 2-oxooxazolidine-3,5-diyl] were prepd. Thus, 1,4-hexahydrothiazepine was N-arylated by 3,4-F2C6H3NO2 and the reduced and N-protected product cyclocondensed with (R)-glycidyl butyrate to give, in 4 addnl. steps, title compd. II. Data for biol. activity of I were given.

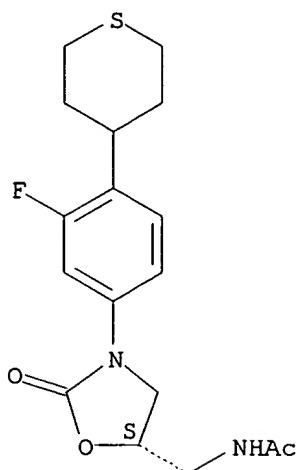
IT **226991-66-8**

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of N-(oxooxazolidinylmethyl)thioamides and analogs as bactericides)

RN 226991-66-8 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 216869-09-9P 216869-12-4P 273377-03-0P

273377-04-1P 273377-08-5P

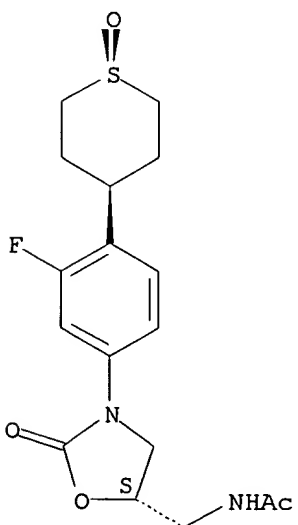
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-(oxooxazolidinylmethyl)thioamides and analogs as bactericides)

RN 216869-09-9 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

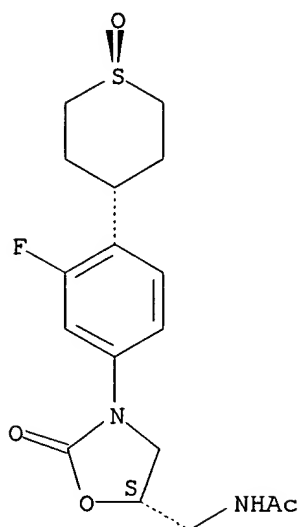
Absolute stereochemistry. Rotation (-).



RN 216869-12-4 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

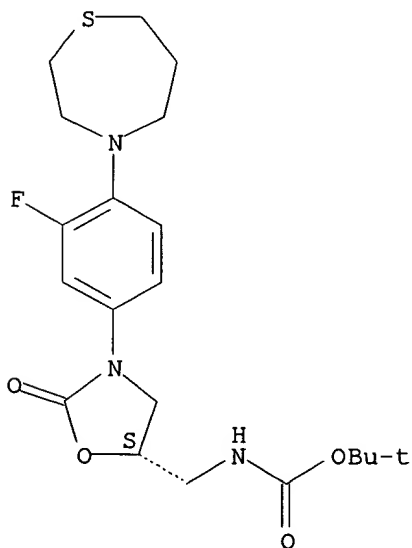
Absolute stereochemistry. Rotation (-).



RN 273377-03-0 CAPLUS

CN Carbamic acid, [[(5S)-3-[3-fluoro-4-(tetrahydro-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

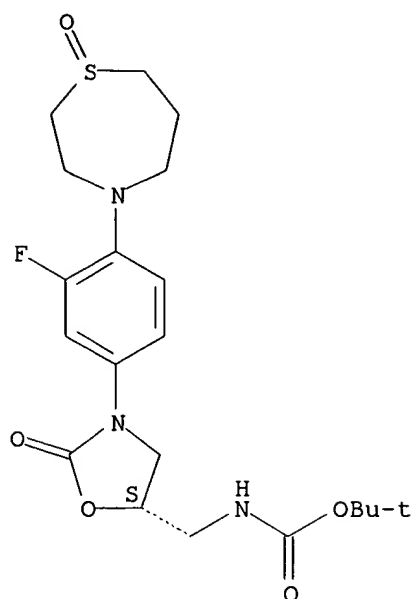
Absolute stereochemistry.



RN 273377-04-1 CAPLUS

CN Carbamic acid, [[(5S)-3-[3-fluoro-4-(tetrahydro-1-oxido-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

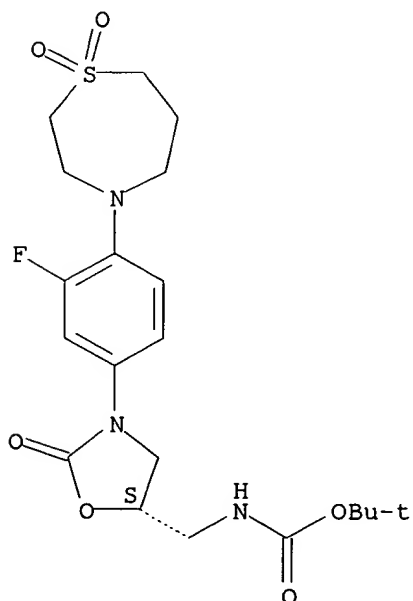
Absolute stereochemistry.



RN 273377-08-5 CAPLUS

CN Carbamic acid, [[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

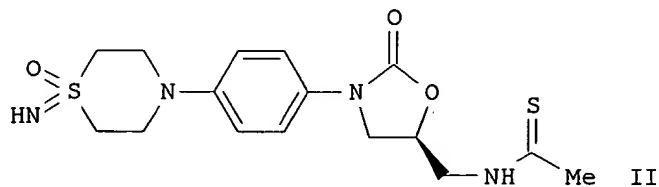
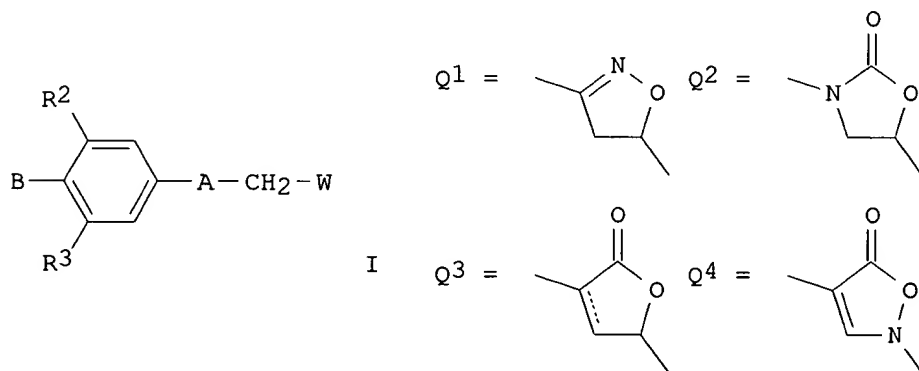
Absolute stereochemistry.



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2002 ACS
 AN 2001:472710 CAPLUS
 DN 135:61315
 TI Preparation oxazolidinone antimicrobial agents having a sulfoximine
 functionality
 IN Hester, Jackson B., Jr.; Alexander, David L.
 PA Pharmacia + Upjohn Company, USA
 SO PCT Int. Appl., 47 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001046185	A1	20010628	WO 2000-US32451	20001212
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 2001046987 A1 20011129 US 2000-736858 20001214 PRAI US 1999-171916P P 19991221 OS MARPAT 135:61315 GI				



AB The title compds. (I) [wherein A = Q1-Q4; B = specified heterocycles contg. a SONR5 group; W = NHC(X)R1 or Y-het with provisos; X = O or S with provisos; Y = NH, O, or S; R1 = (un)substituted H, NH2, alkyl(amino), alkenyl, alkoxy, alkylthio, or cycloalkyl(alkyl); R2 and R3 =

independently H, F, Cl, Me, or Et; R5 = H or (un)substituted alkyl, alkanoyl, alkoxy carbonyl, CONHR6, or CSNHR6; R6 = Ph or (un)substituted alkyl; p = 0-2; q = 1-5 with provisos; m = 0-2; n = 2 or 3; or a pharmaceutically acceptable salt thereof] were prepd. as potent Gram-pos. and Gram-neg. antibacterial agents. For example, the 3-[4-(1-imino-1-oxido-1.1lambda.4,4-thiazinan-4-yl)phenyl]oxazolidinone (II) was synthesized by reaction of (S)-N-[[3-[3-fluoro-4-(1-oxothiomorpholin-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide with NaN3 in the presence of polyphosphoric acid to give the sulfoximine, deacetylation, and addn. of Et dithioacetate to the amine. II displayed antibacterial activity against *Staphylococcus aureas*, *Staphylococcus epidermidis*, *Enterococcus faecium*, *Streptococcus pneumoniae*, *Streptococcus pyogenes*, *Enterococcus faecalis*, *Moraxella catarrhalis*, and *H. influenzae* with min. inhibitory concns. of <4 .mu.g/mL.

IT 346457-88-3P 346457-90-7P 346457-92-9P

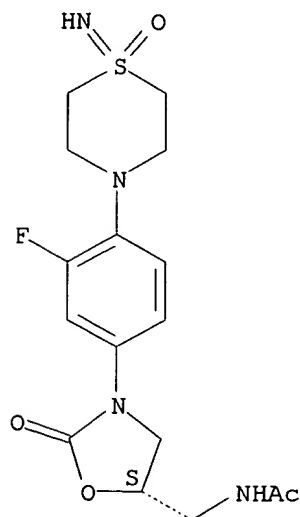
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of oxazolidinone antibacterial agents having a sulfoximine functionality from 3-[4-(1-oxothiomorpholino)phenyl]oxazolidinones and related compds.)

RN 346457-88-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-(1,1-dihydro-1-imino-1-oxido-4-thiomorpholinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

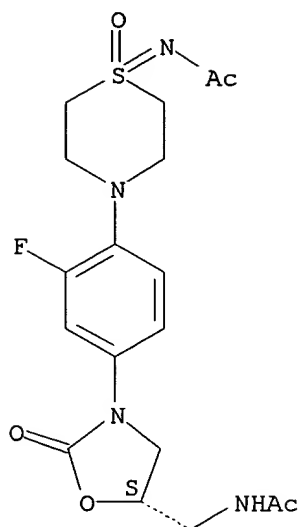
Absolute stereochemistry.



RN 346457-90-7 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[1-(acetylimino)-1,1-dihydro-1-oxido-4-thiomorpholinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

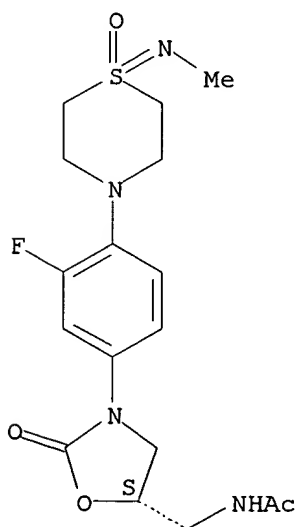
Absolute stereochemistry.



RN 346457-92-9 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[1,1-dihydro-1-(methylimino)-1-oxido-4-thiomorpholinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 346457-25-8P 346457-33-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of oxazolidinone antibacterial agents having a sulfoximine functionality from 3-[4-(1-oxothiomorpholino)phenyl]oxazolidinones and related compds.)

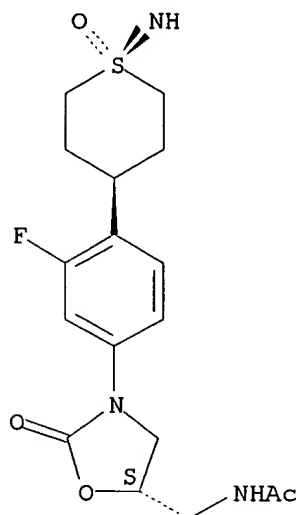
RN 346457-25-8 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(trans-1,1,3,4,5,6-hexahydro-1-imino-1-

09/736,858

oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA
INDEX NAME)

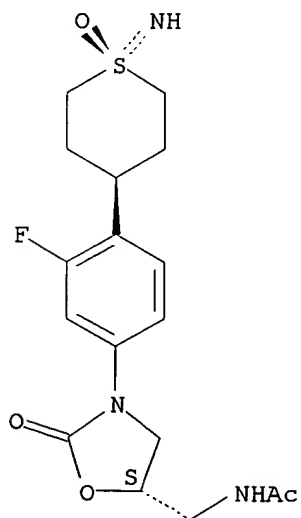
Absolute stereochemistry.



RN 346457-33-8 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(cis-1,1,3,4,5,6-hexahydro-1-imino-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



IT 346457-43-0P 346457-84-9P 346457-86-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of oxazolidinone antibacterial agents having a sulfoximine functionality from 3-[4-(1-oxothiomorpholino)phenyl]oxazolidinones and

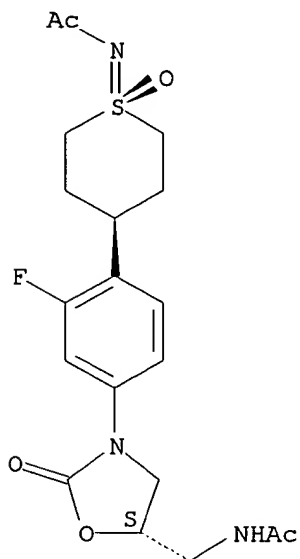
09/736,858

related compds.)

RN 346457-43-0 CAPLUS

CN Acetamide, N-[[[(5S)-3-[4-[cis-1-(acetylimino)-1,1,3,4,5,6-hexahydro-1-oxido-2H-thiopyran-4-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



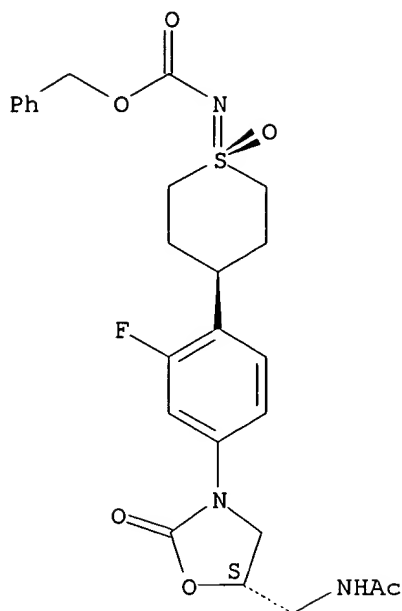
cl. 46

1

RN 346457-84-9 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-[cis-1,1,3,4,5,6-hexahydro-1-[[[(phenylmethoxy)carbonyl]imino]-1-oxido-2H-thiopyran-4-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

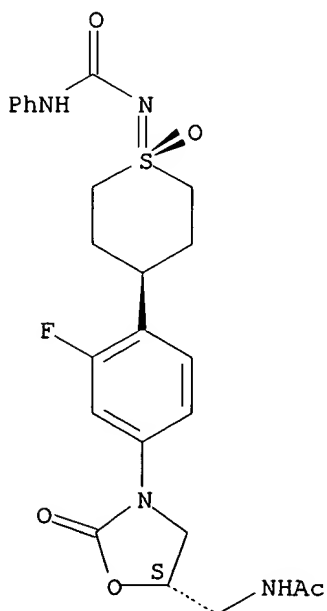
Absolute stereochemistry.



RN 346457-86-1 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-[cis-1,1,3,4,5,6-hexahydro-1-oxido-1-[[(phenylamino) carbonyl] imino]-2H-thiopyran-4-yl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 168828-60-2 216869-09-9 216869-12-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; prepn. of oxazolidinone antibacterial agents having a sulfoximine functionality from 3-[4-(1-oxothiomorpholino)phenyl]oxazo

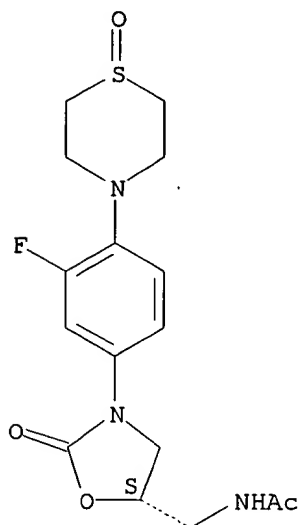
09/736,858

lidinones and related compds.)

RN 168828-60-2 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(1-oxido-4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

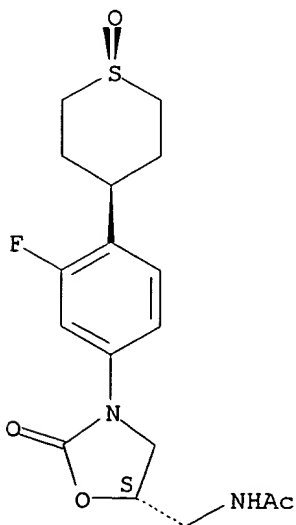
Absolute stereochemistry.



RN 216869-09-9 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

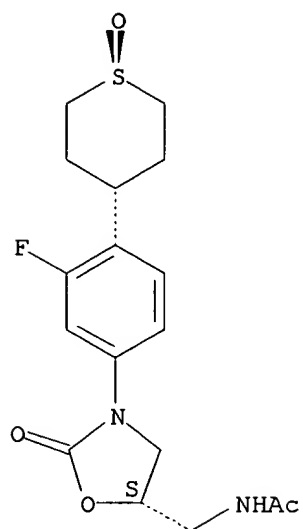
Absolute stereochemistry. Rotation (-).



RN 216869-12-4 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/736,858

~~AB~~ ANSWER 10 OF 27 CAPLUS COPYRIGHT 2002 ACS

AN 2001:464364 CAPLUS

DN 135:56050

TI Enhancement of oxazolidinone antibacterial agents activity by using arginine derivatives

IN Bohanon, Michael John

PA Pharmacia & Upjohn Company, USA

SO U.S., 6 pp., Cont.-in-part of U.S. Ser. No. 81,164, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6251869	B1	20010626	US 1999-313465	19990517
PRAI	US 1998-81164	B2	19980518		

OS MARPAT 135:56050

AB Methods and compns. are provided for enhancing the effectiveness of oxazolidinone antibacterial agents against gram-neg. organisms infection by using an arginine deriv., e.g. L-phenylalanyl-L-arginyl-.beta.-naphthylamide.

IT 188974-61-0 188974-75-6 226991-61-3
226991-62-4 345897-48-5 345897-50-9
345897-52-1 345897-55-4

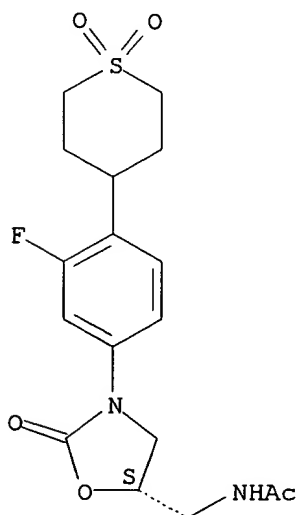
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(arginine deriv. for oxazolidinone antibacterial agent enhancement)

RN 188974-61-0 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

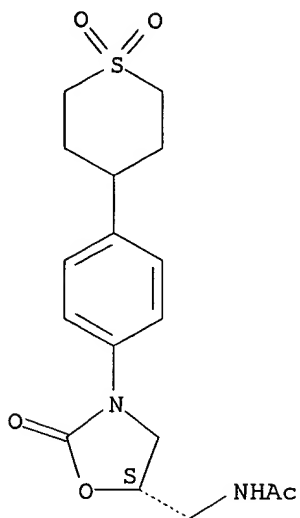


RN 188974-75-6 CAPLUS

CN Acetamide, N-[[[(5S)-2-oxo-3-[4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)

09/736,858

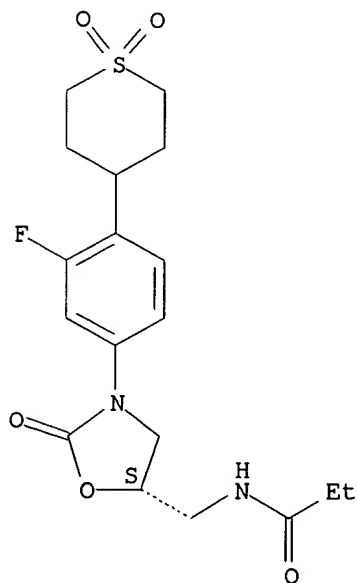
Absolute stereochemistry. Rotation (-).



RN 226991-61-3 CAPLUS

CN Propanamide, N-[[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

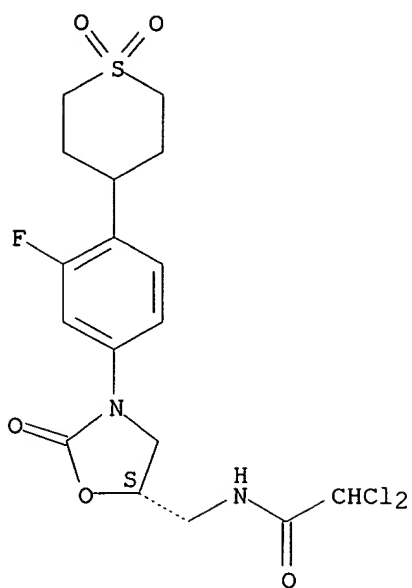
Absolute stereochemistry. Rotation (-).



RN 226991-62-4 CAPLUS

CN Acetamide, 2,2-dichloro-N-[[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

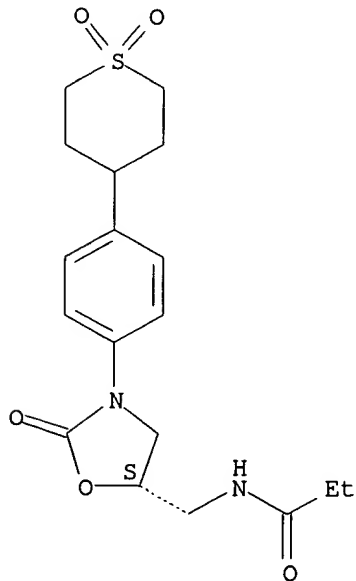
Absolute stereochemistry. Rotation (-).



RN 345897-48-5 CAPLUS

CN Propanamide, N-[[[(5S)-2-oxo-3-[4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

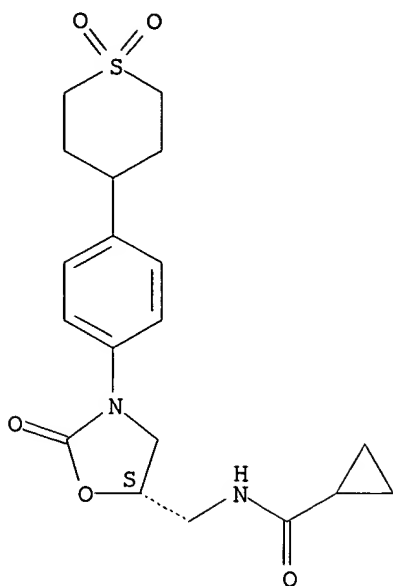
Absolute stereochemistry.



RN 345897-50-9 CAPLUS

CN Cyclopropanecarboxamide, N-[[[(5S)-2-oxo-3-[4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

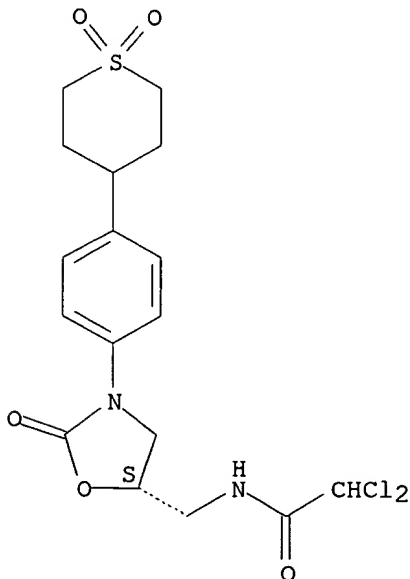
Absolute stereochemistry.



RN 345897-52-1 CAPLUS

CN Acetamide, 2,2-dichloro-N-[[[(5S)-2-oxo-3-[4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

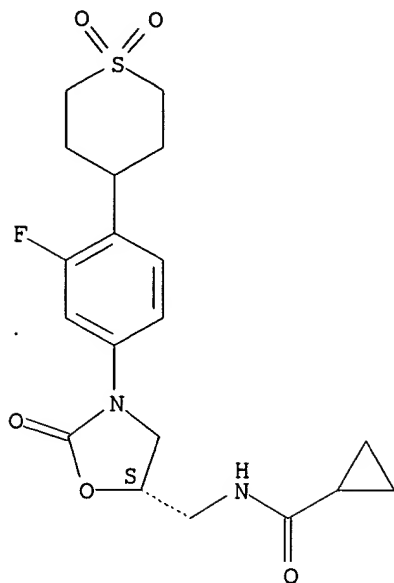


RN 345897-55-4 CAPLUS

CN Cyclopropanecarboxamide, N-[[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

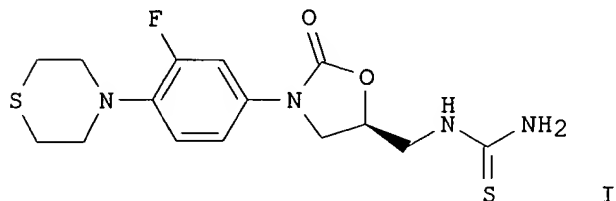
09/736,858



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/736,858

~~IS~~ ANSWER 11 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2001:252571 CAPLUS
DN 135:31127
TI Structure-activity relationship (SAR) studies on oxazolidinone
antibacterial agents. 1. Conversion of 5-substituent on oxazolidinone
AU Tokuyama, Ryukou; Takahashi, Yoshiei; Tomita, Yayoi; Suzuki, Tomio;
Yoshida, Toshihiko; Iwasaki, Nobuhiko; Kado, Noriyuki; Okezaki, Eiichi;
Nagata, Osamu
CS Research and Development Division, Hokuriku Seiyaku Co., Ltd., Fukui,
911-8555, Japan
SO Chemical & Pharmaceutical Bulletin (2001), 49(4), 347-352
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
GI

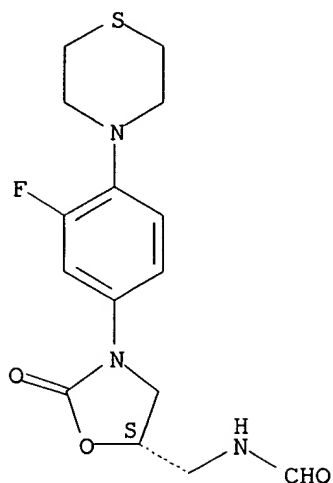


AB A structure-activity relationship (SAR) study on 5-substituted oxazolidinones as antibacterial agents is described. Oxazolidinones, whose 5-acetylaminomethyl moiety was converted to other functions, were prepd. and evaluated for antibacterial activity. Elongation of the methylene chain and conversion of the acetamido moiety to a guanidino moiety decreased the antibacterial activity. The replacement of carbonyl O (C=O) by thiocarbonyl S (C=S) enhanced in vitro antibacterial activity. Esp. (I), which had a 5-thiourea group, showed 4-8-fold stronger in vitro activity than linezolid. SAR study revealed that the antibacterial activity was greatly affected by the conversion of the 5-substituent.

IT **343869-91-0P 343869-92-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(structure-activity relationship studies on oxazolidinone antibacterial agents)

RN 343869-91-0 CAPLUS
CN Formamide, N-[[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

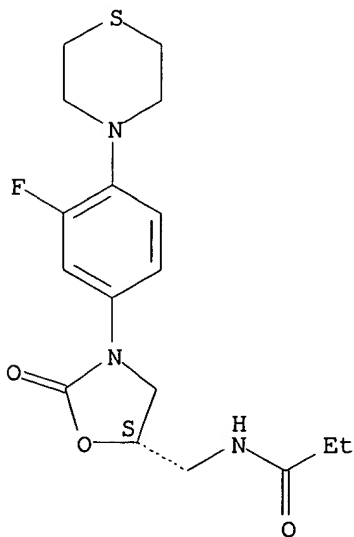
Absolute stereochemistry. Rotation (-).



RN 343869-92-1 CAPLUS

CN Propanamide, N-[[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



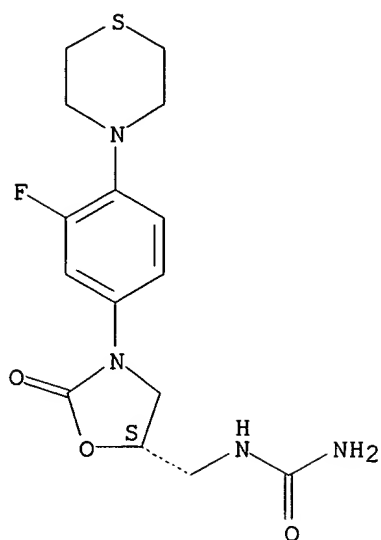
IT 343869-93-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (structure-activity relationship studies on oxazolidinone antibacterial agents)

RN 343869-93-2 CAPLUS

CN Urea, [[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 168828-58-8, PNU 100480

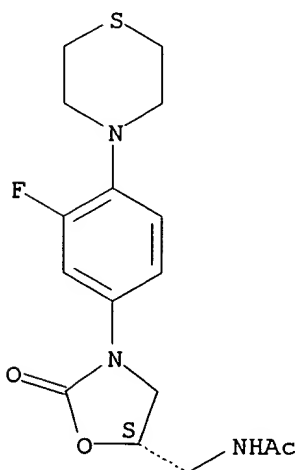
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

(structure-activity relationship studies on oxazolidinone antibacterial agents)

RN 168828-58-8 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



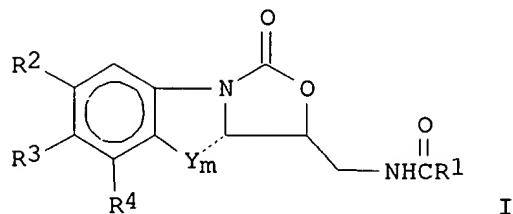
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/736,858

~~19~~ ANSWER 12 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN. 2001:208106 CAPLUS
DN 134:242679
TI Topical treatment or prevention of ocular infections using oxazolidinone antibiotics
IN Bowman, Lyle M.; Samir, Roy; Shen, Peng
PA Insite Vision Incorporated, USA
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001019366	A1	20010322	WO 2000-US24914	20000912
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 1999-394617	A	19990913		
	US 2000-659063	A	20000911		

GI



AB The topical application of an oxazolidinone antibiotic in a polymeric suspension or aq. compn. to the eye is useful in treating or preventing ocular infections. Preferred oxazolidinone antibiotic compns. comprise the compd. I and the pharmaceutically acceptable salts thereof. For example, an aq. polymeric suspension was prepd. contg. I 0.25, polycarbophil (Noveon AA-1) 0.75, EDTA 0.1, NaCl 0.3, BAK 0.01, glycerol 0.5, sorbitol 1.5, and Poloxamer 407 0.1 part, resp.

IT **168828-60-2**

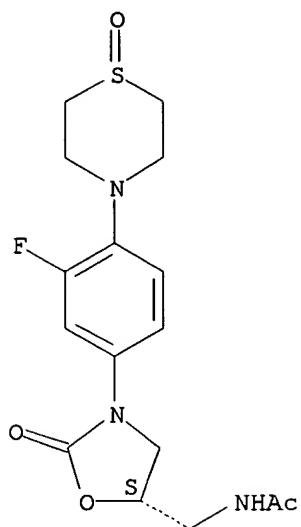
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(topical compns. contg. oxazolidinone antibiotics for treatment or prevention of ocular infections)

RN 168828-60-2 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(1-oxido-4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~DS~~ ANSWER 13 OF 27 CAPLUS COPYRIGHT 2002 ACS
~~AN~~ 2000:535134 CAPLUS
~~DN~~ 133:150549

TI Preparation of thiopyranylfluorophenyloxazolidinones and
 hydroxytetrahydrothiopyranylfluorophenyl carbamate intermediates.

IN Gage, James R.

PA Pharmacia and Upjohn Company, USA

SO PCT Int. Appl., 34 pp.

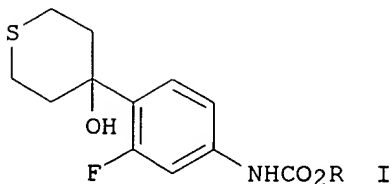
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000044741	A2	20000803	WO 2000-US506	20000131
	WO 2000044741	A3	20001207		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6239283	B1	20010529	US 2000-495017	20000131
	BR 2000007918	A	20011023	BR 2000-7918	20000131
	EP 1149089	A2	20011031	EP 2000-909891	20000131
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI	US 1999-118150P	P	19990201		
	WO 2000-US506	W	20000131		
OS	MARPAT 133:150549				
GI					



AB Title compds. [I; R = alkyl, phenylalkyl, alkoxyalkyl, alkenyl, phenylalkenyl, cycloalkylalkenyl, (alkyl-substituted) Ph, naphthyl], were prepd. Thus, 3-fluoroaniline in CH₂Cl₂ was treated with aq. Na₂CO₃ and then with iso-Bu chloroformate to give a soln. of 2-methylpropyl 3-fluorophenylcarbamate. This was stirred with dibromantin to give 2-methylpropyl 4-bromo-3-fluorophenylcarbamate. This was stirred with EtMgBr, Me₃SiCl, and N,N-tetramethylethylenediamine followed by cooling to -23.degree. to -27.degree. and treatment with BuLi and tetrahydrothiopyran-4-one to give 2-methylpropyl 3-fluoro-4-(tetrahydro-4-hydroxy-2H-thiopyran-4-yl)phenylcarbamate. This was converted in several steps to [4(S)-cis]-N-[[3-[3-fluoro-4-(tetrahydro-1-oxido-2H-thiopyranyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]acetamide.

09/736,858

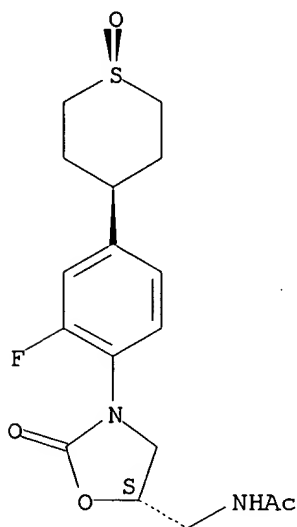
IT 287172-73-0P 287172-79-6P 287172-86-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of thiopyranylfluorophenyloxazolidinones and
hydroxytetrahydrothiopyranylfluorophenyl carbamate intermediates)

RN 287172-73-0 CAPLUS

CN Acetamide, N-[[[(5S)-3-[2-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

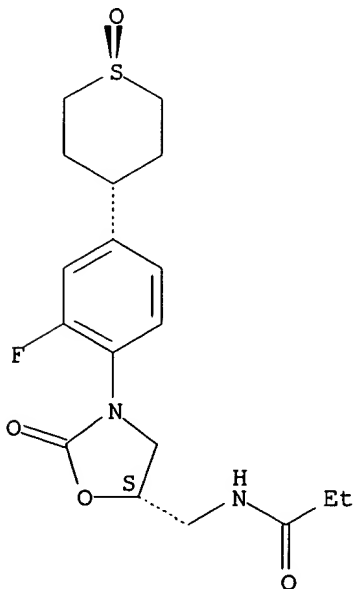
Absolute stereochemistry.



RN 287172-79-6 CAPLUS

CN Propanamide, N-[[[(5S)-3-[2-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

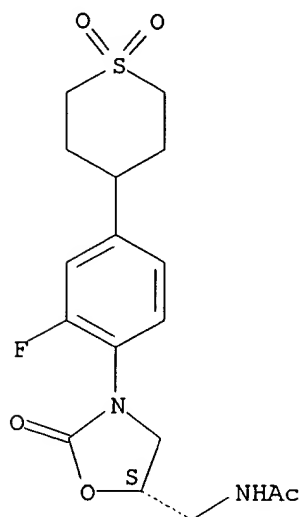


09/736,858

RN 287172-86-5 CAPLUS

CN Acetamide, N-[[(5S)-3-[2-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~L9~~ ANSWER 14 OF 27 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2000:454836 CAPLUS

~~DN~~ 133:171759

TI Antimycobacterial pyrroles: synthesis, anti-Mykobacterium tuberculosis activity and QSAR studies

AU Ragno, R.; Marshall, G. R.; Di Santo, R.; Costi, R.; Massa, S.; Rompei, R.; Artico, M.

CS Center for Molecular Design, Washington University, St. Louis, MO, 63110, USA

SO Bioorganic & Medicinal Chemistry (2000), 8(6), 1423-1432

CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier Science Ltd.

DT Journal

LA English

AB A no. of known antifungal pyrrole derivs. and some newly synthesized compds. (5-33) were tested in vitro against Mycobacterium tuberculosis CIP 103471. The majority of tested compds. were efficient antimycobacterial agents showing MIC values ranging from 0.5 to 32 $\mu\text{g/mL}$. A 3-D-QSAR study has been performed on these pyrrole derivs. to correlate their chem. structures with their obsd. inhibiting activity against M. tuberculosis. Due to the absence of information on a putative receptor responsible for this activity, classical quant. structure-activity relationships (QSAR) and comparative mol. field anal. (CoMFA) have been applied. A model able to well correlate the antimycobacterial activity with the chem. structures of pyrrole derivs. 5-33 has been developed which is potentially helpful in the design of novel and more potent antituberculosis agents. The combination of CoMFA with classical QSAR descriptors led to a better hybrid 3-D-QSAR model, that successfully explains the structure-activity relationships ($r^2=0.86$) of the training set. A comparison between the QSAR, CoMFA and mixed QSAR-CoMFA models is also presented. The hybrid model is to be preferred, however, because of its lowest values of the av. abs. error of prediction toward a limited external test set.

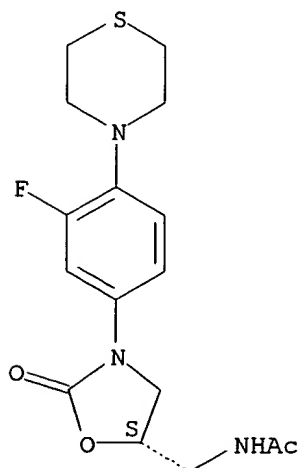
IT 168828-58-8, U 100480

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(antimycobacterial pyrroles)

RN 168828-58-8 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/736,858

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/736,858

19 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2002 ACS

IN 2000:431301 CAPLUS

DN 133:177123

TI Stereodivergent synthesis of sulfoxide-containing oxazolidinone antibiotics

AU Gage, James R.; Perrault, William R.; Poel, Toni-Jo; Thomas, Richard C.

CS Pharmacia and Upjohn, Inc., Kalamazoo, MI, 49001, USA

SO Tetrahedron Letters (2000), 41(22), 4301-4305

CODEN: TELEAY; ISSN: 0040-4039

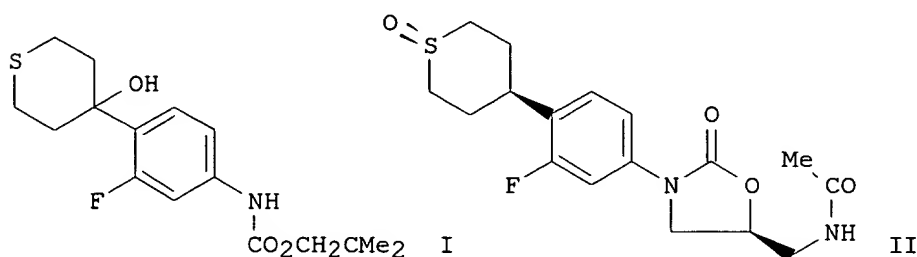
PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 133:177123

GI



AB Carbamate I was prepd. under mild conditions via a novel metal-halogen exchange procedure without competing benzyne formation. Selection of an appropriate oxidn./redn. sequence afforded access to either the cis- or trans-1-oxo-4-aryltetrahydrothiopyran system, important intermediates in the synthesis of a new class of oxazolidinone antibiotics, such as II.

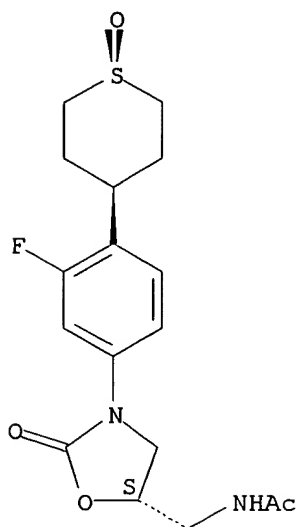
IT 216869-09-9P 226991-63-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(stereodivergent synthesis of sulfoxide-contg. oxazolidinone antibiotics)

RN 216869-09-9 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

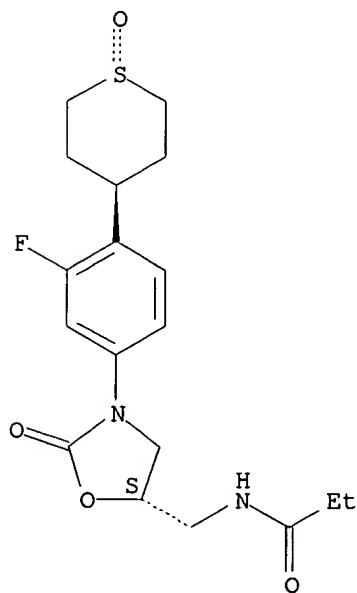
Absolute stereochemistry. Rotation (-).



RN 226991-63-5 CAPLUS

CN Propanamide, N-[[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

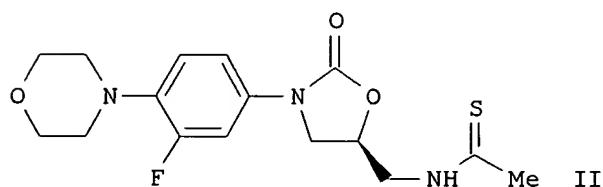
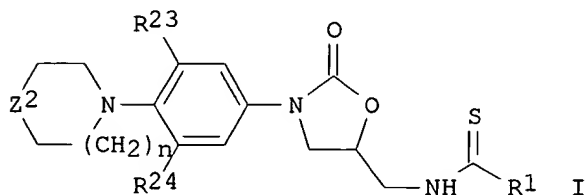


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/736,858

19 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 2000:384192 CAPLUS
DN 133:30719
TI Oxazolidinone antibacterial agents having a thiocarbonyl functionality
IN Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles;
Poel, Toni-jo
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000032599	A1	20000608	WO 1998-US25308	19981127
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9917053	A1	20000619	AU 1999-17053	19981127
	EP 1133493	A1	20010919	EP 1998-961822	19981127
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI	WO 1998-US25308	A	19981127		
OS	MARPAT 133:30719				
GI					



AB The title compds. (I) [wherein Z2 = SO2, S(O), S, O, or (un)substituted NH; n = 0-3; R23 and R24 = independently H or F; R1 = H, NH2, NH(alkyl), N(alkyl)2, aziridinyl, azetidiny, pyrrolidinyl, piperidinyl, alkyl(thio), alkoxy(carbonyl), CN, or cycloalkyl] were prepd. by various methods, including conversion of the corresponding amides to (alkyl)thioureas or thioamides. Replacement of the O atom with S atom unexpectedly improved the antimicrobial properties of the compds. For example, II was prepd. by treating the corresponding acetamide with Lawesson's Reagent. II

09/736,858

inhibited growth of tested gram pos. organisms at concns. 2-4 times lower than the comparison carbonyl-contg. compd.

IT 216869-09-9P 216869-12-4P 273377-03-0P
273377-04-1P 273377-08-5P

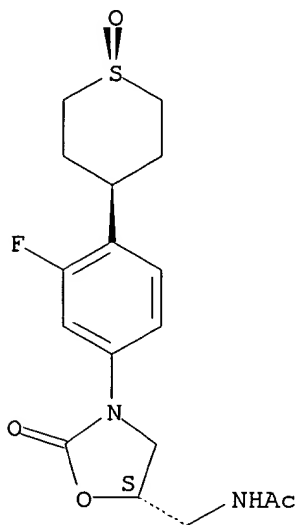
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of antibacterial oxazolidinone (alkyl)thioamides or thioureas from the corresponding amides or amines)

RN 216869-09-9 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

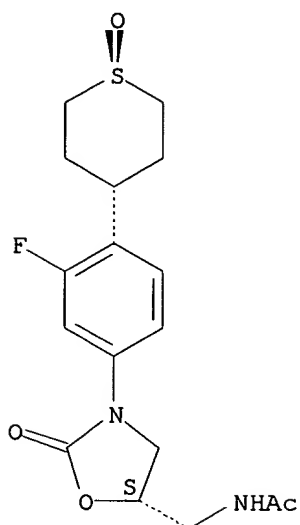
Absolute stereochemistry. Rotation (-).



RN 216869-12-4 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

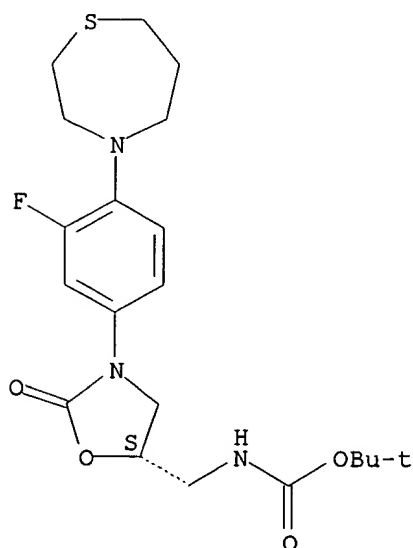
Absolute stereochemistry. Rotation (-).



RN 273377-03-0 CAPLUS

CN Carbamic acid, [[(5S)-3-[3-fluoro-4-(tetrahydro-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

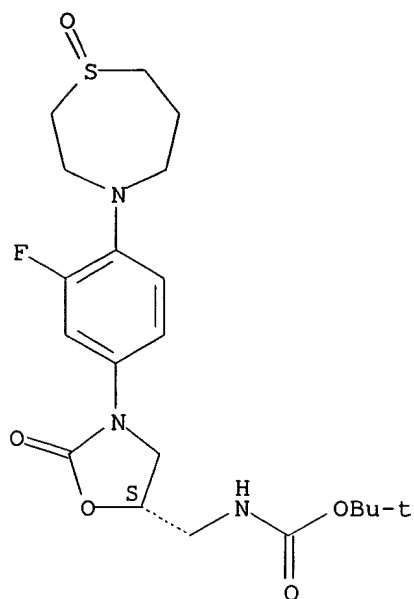
Absolute stereochemistry.



RN 273377-04-1 CAPLUS

CN Carbamic acid, [[(5S)-3-[3-fluoro-4-(tetrahydro-1-oxido-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

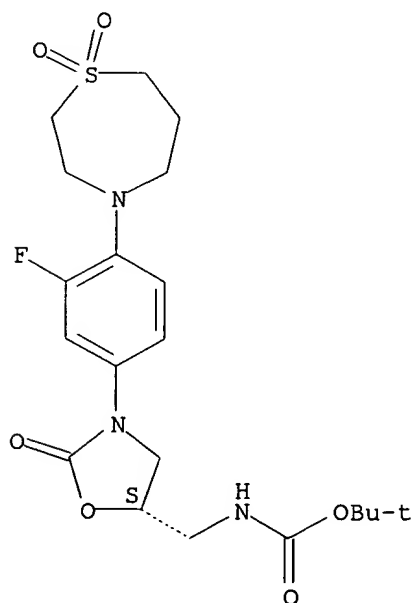
Absolute stereochemistry.



RN 273377-08-5 CAPLUS

CN Carbamic acid, [[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~19~~ ANSWER 17 OF 27 CAPLUS COPYRIGHT 2002 ACS
~~CN~~ 1999:783923 CAPLUS

DN 132:15659

TI Topical administration of oxazolidinones for transdermal delivery

IN Ford, Charles W.; Watts, Jeffrey L.

PA Pharmacia and Upjohn Company, USA

SO PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DT Patent

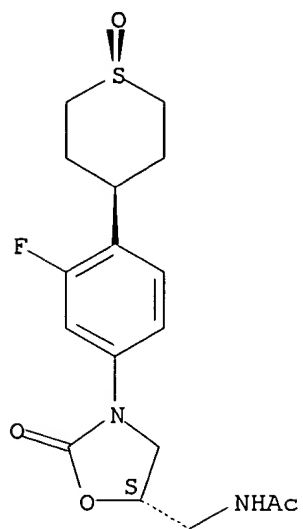
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9962504	A2	19991209	WO 1999-US10463	19990526
	WO 9962504	A3	20000224		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9941848	A1	19991220	AU 1999-41848	19990526
	BR 9910318	A	20010130	BR 1999-10318	19990526
	EP 1083900	A2	20010321	EP 1999-925598	19990526
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	US 2002009483	A1	20020124	US 1999-320428	19990526
	NO 2000006161	A	20001204	NO 2000-6161	20001204
PRAI	US 1998-88283P	P	19980605		
	WO 1999-US10463	W	19990526		
AB	Disclosed is a method of treating a non-topical infection selected from the group consisting of ear infections, skin and soft tissue infections, acne, infected wounds, bacteremia, in a useful warm blooded mammal who is in need of such treatment which comprises topical administration of a pharmaceutical formulation contg. a transdermally effective amt. of an oxazolidinone. A male having acne was treated with an ointment contg. 30 mg/mL (S)-N-[[3-[3-fluoro-4-[4-(hydroxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide twice daily until the redness and swelling were gone.				
IT	216869-09-9P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (topical administration of oxazolidinones for transdermal delivery)				
RN	216869-09-9 CAPLUS				
CN	Acetamide, N-[[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)				

Absolute stereochemistry. Rotation (-).

09/736,858



09/736,858

L9 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2002 ACS

AN 1999:584814 CAPLUS

DN 131:214279

TI Preparation of bicycliclaryloxazolidinones as antibacterials

IN Barbachyn, Michael R.; Thomas, Richard C.; Cleek, Gary L.; Thomasco, Lisa M.; Gadwood, Robert C.

PA Pharmacia & Upjohn Company, USA

SO U.S., 12 pp., Cont.-in-part of U.S. Ser. No. 339,979, abandoned.

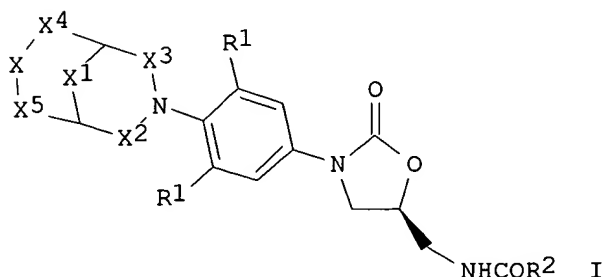
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5952324	A	19990914	US 1997-51466	19970514
	WO 9615130	A1	19960523	WO 1995-US12751	19951031
	W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
PRAI	US 1994-339979	B2	19941115		
	WO 1995-US12751	W	19951031		
OS	MARPAT 131:214279				
GI					



AB Title compds. [I; X = O, S, SO, SO₂; X₁ = (CH₂)_a; X₂ = (CH₂)_b; X₃ = (CH₂)_c; X₄ = (CH₂)_d; X₅ = (CH₂)_e; a = 0-3; b-e = 0-2; R₁ = H, F, Cl, OMe; R₂ = H, (substituted) alkyl; with provisos], were prepd. I are effective against gram-pos. aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacteroides spp. and Clostridia spp. species, and acid-fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp. Thus, (S)-N-[[3-[3-fluoro-4-(tetrahydro-1H-thieno[3,4-c]pyrrol-5(3H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide in acetone/H₂O was stirred with N-methylmorpholine N-oxide and OsO₄ for 18 h to give (S)-N-[[3-[3-fluoro-4-(tetrahydro-1H-thieno[3,4-c]pyrrol-5(3H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide S,S-dioxide. The latter showed ED₅₀ = 3.5 mg/kg orally against Staphylococcus aureus UC9213 in mice.

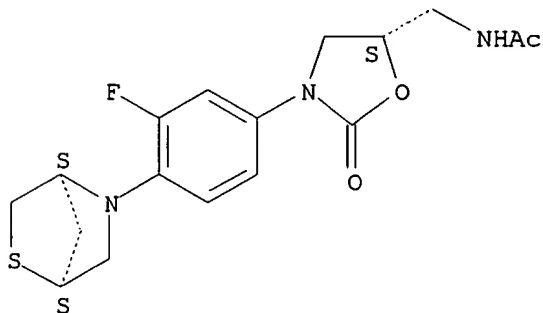
IT 179339-65-2P 179339-66-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicycylaryloxazolidinones as antibacterials)

RN 179339-65-2 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(1S,4S)-2-thia-5-azabicyclo[2.2.1]hept-5-ylphenyl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)

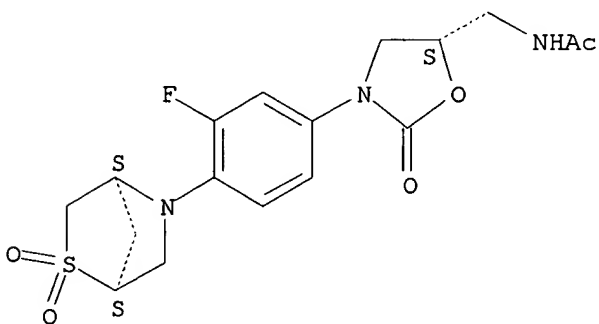
Absolute stereochemistry.



RN 179339-66-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[(1S,4S)-2,2-dioxido-2-thia-5-azabicyclo[2.2.1]hept-5-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)

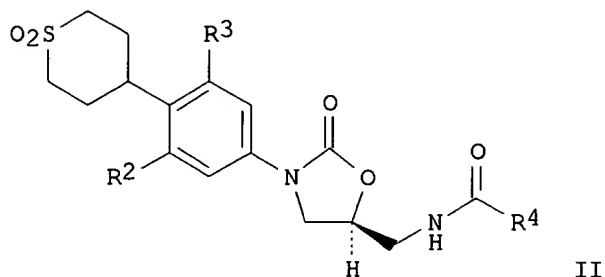
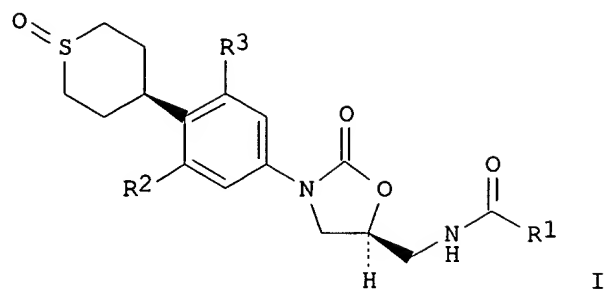
Absolute stereochemistry.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

DA ANSWER 19 OF 27 CAPLUS COPYRIGHT 2002 ACS
 AN 1999:388181 CAPLUS
 DN 131:31934
 TI Preparation of S-oxide and S,S-dioxide tetrahydrothiopyran
 phenyloxazolidinones as antimicrobial agents and as human monoamine
 oxidase inhibitors
 IN Poel, Toni-Jo; Martin, Joseph P., Jr.; Barbachyn, Michael R.
 PA Pharmacia & Upjohn Company, USA
 SO PCT Int. Appl., 30 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9929688	A1	19990617	WO 1998-US24526	19981123
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6083967	A	20000704	US 1998-196890	19981120
	AU 9917968	A1	19990628	AU 1999-17968	19981123
	EP 1036074	A1	20000920	EP 1998-962811	19981123
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	BR 9814897	A	20001003	BR 1998-14897	19981123
	JP 2001525408	T2	20011211	JP 2000-524282	19981123
	ZA 9811027	A	20000602	ZA 1998-11027	19981202
	US 6265178	B1	20010724	US 1999-434911	19991105
	NO 2000002834	A	20000721	NO 2000-2834	20000602
PRAI	US 1997-67830P	P	19971205		
	US 1998-89498P	P	19980616		
	US 1998-100185P	P	19980914		
	US 1998-196890	A3	19981120		
	WO 1998-US24526	W	19981123		
OS	MARPAT 131:31934				
GI					



AB The title compds. I and II (R1 = Me, Et, cyclopropyl, dichloromethyl; R2, R3 = H, F, fluoro; R4 = Et, dichloromethyl), antimicrobial agents, were prepd.. E.g., [4(S)-cis]-(-)-N-[[3-[3-fluoro-4-(tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide was prepd. The invention also relates to a novel assay for detg. the inhibitory activity of oxazolidinones to human monoamine oxidase.

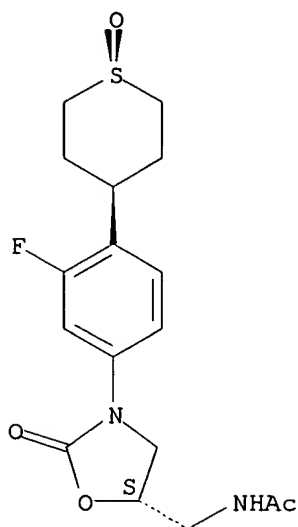
IT **216869-09-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of S-oxide and S,S-dioxide tetrahydrothiopyran
phenyloxazolidinones as antimicrobial agents and as human monoamine
oxidase inhibitors)

RN 216869-09-9 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



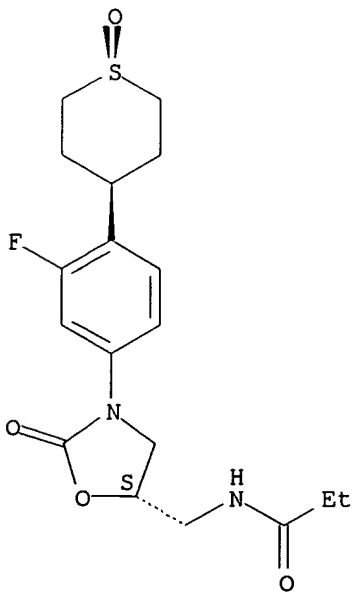
IT 226991-58-8P 226991-59-9P 226991-60-2P
 226991-61-3P 226991-62-4P 226991-63-5P
 226991-64-6P 226991-65-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of S-oxide and S,S-dioxide tetrahydrothiopyran
 phenyloxazolidinones as antimicrobial agents and as human monoamine
 oxidase inhibitors)

RN 226991-58-8 CAPLUS

CN Propanamide, N-[[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

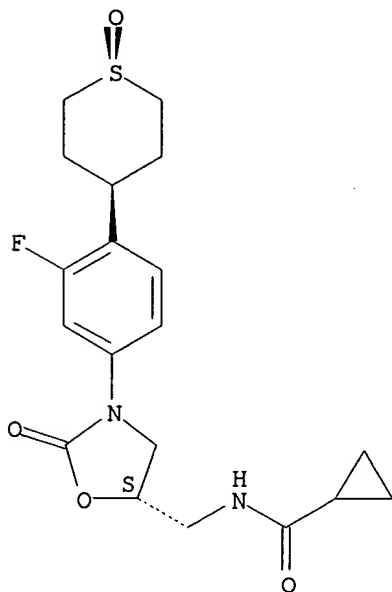


09/736,858

RN 226991-59-9 CAPLUS

CN Cyclopropanecarboxamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

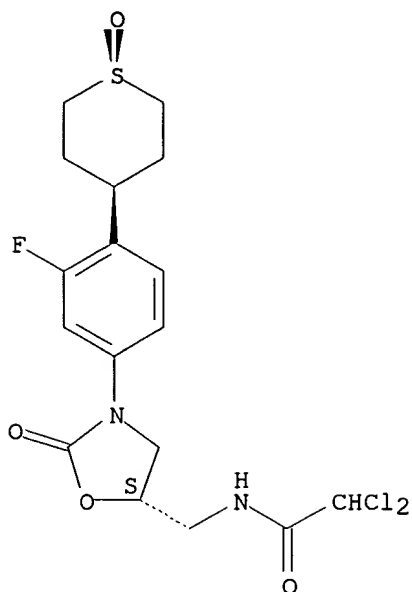
Absolute stereochemistry. Rotation (-).



RN 226991-60-2 CAPLUS

CN Acetamide, 2,2-dichloro-N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

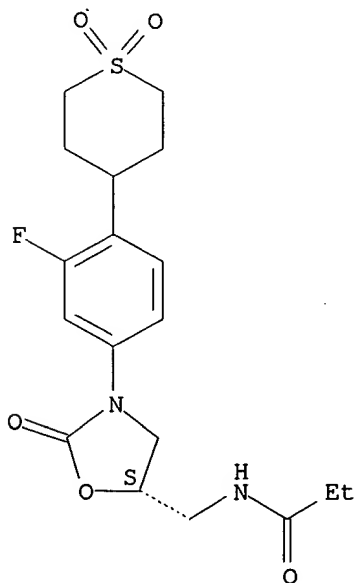
Absolute stereochemistry.



RN 226991-61-3 CAPLUS

CN Propanamide, N-[[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

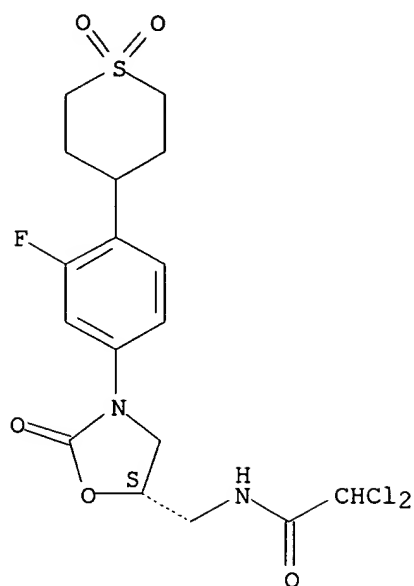
Absolute stereochemistry. Rotation (-).



RN 226991-62-4 CAPLUS

CN Acetamide, 2,2-dichloro-N-[[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

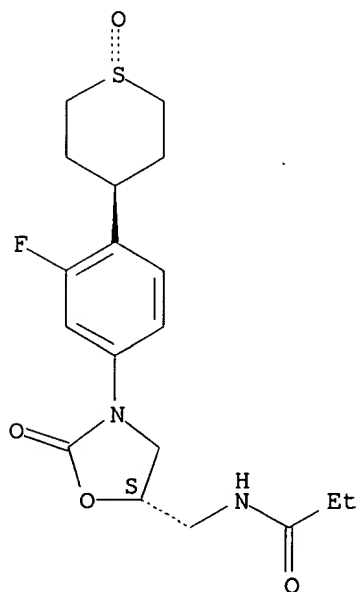
Absolute stereochemistry. Rotation (-).



RN 226991-63-5 CAPLUS

CN Propanamide, N-[[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

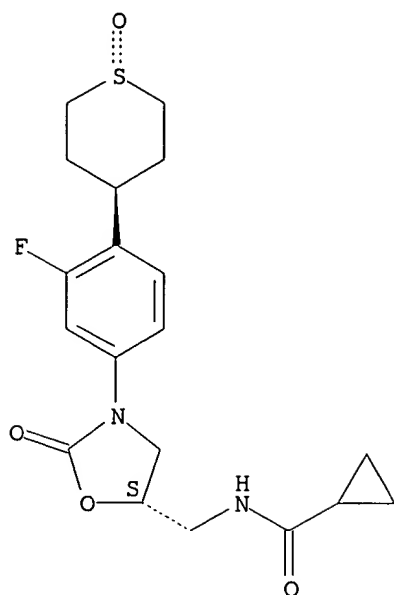
Absolute stereochemistry. Rotation (-).



RN 226991-64-6 CAPLUS

CN Cyclopropanecarboxamide, N-[[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

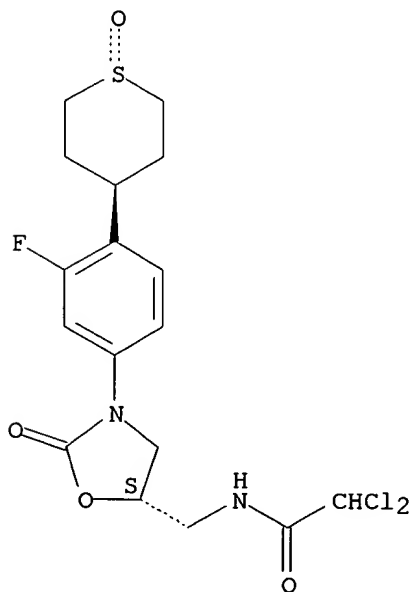
Absolute stereochemistry. Rotation (-).



RN 226991-65-7 CAPLUS

CN Acetamide, 2,2-dichloro-N-[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 188974-61-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of S-oxide and S,S-dioxide tetrahydrothiopyran
phenyloxazolidinones as antimicrobial agents and as human monoamine

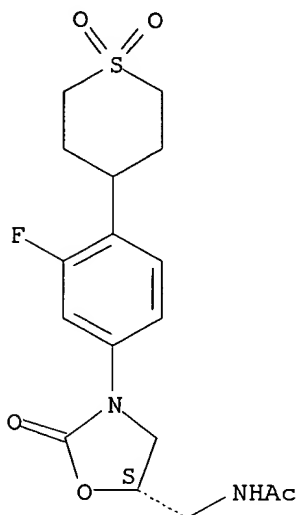
09/736,858

oxidase inhibitors)

RN 188974-61-0 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 216869-12-4P 226991-66-8P

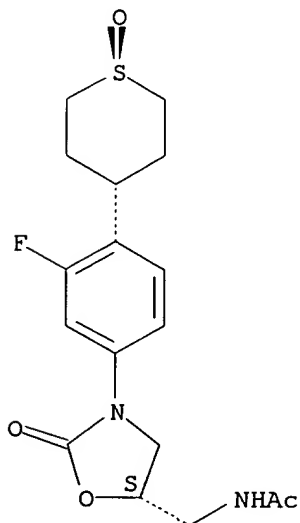
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of S-oxide and S,S-dioxide tetrahydrothiopyran phenyloxazolidinones as antimicrobial agents and as human monoamine oxidase inhibitors)

RN 216869-12-4 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

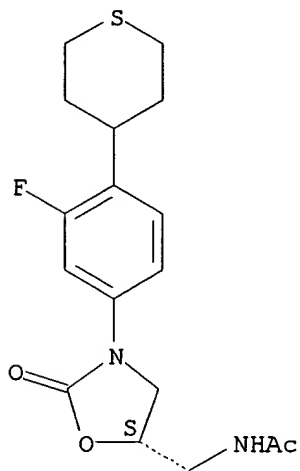


09/736,858

RN 226991-66-8 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~DI~~ ANSWER 20 OF 27 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1999:350596 CAPLUS

DN 131:724

TI Use of oxazolidinone derivatives for treating psoriasis and arthritis and reducing the toxicity of cancer chemotherapy

IN Batts, Donald H.; Ulrich, Roger G.

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DT Patent

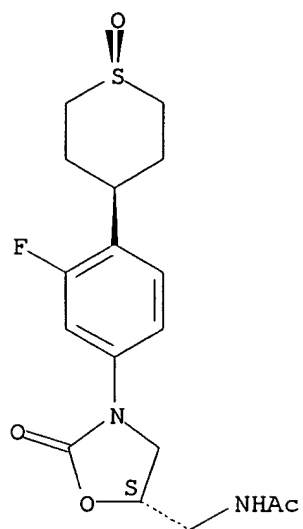
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9925344	A1	19990527	WO 1998-US23233	19981110
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9915823	A1	19990607	AU 1999-15823	19981110
	AU 743941	B2	20020207		
	EP 1032386	A1	20000906	EP 1998-960157	19981110
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	BR 9815615	A	20001024	BR 1998-15615	19981110
	JP 2001522886	T2	20011120	JP 2000-520777	19981110
PRAI	US 1997-65689P	P	19971118		
	US 1998-71297P	P	19980116		
	US 1998-73662P	P	19980204		
	US 1998-75247P	P	19980219		
	US 1998-77672P	P	19980312		
	WO 1998-US23233	W	19981110		
AB	A method for treating a person who has psoriasis or arthritis or for reducing the toxicity of cancer chemotherapy comprises administering to the patient an anti-psoriasis effective amt. of an oxazolidinone, preferably (S)-N-[(3-(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl)methyl]acetamide.				
IT	216869-09-9				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(oxazolidinone derivs. for treatment of psoriasis and arthritis and redn. of cancer chemotherapy toxicity)				
RN	216869-09-9 CAPLUS				
CN	Acetamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)				

Absolute stereochemistry. Rotation (-).

09/736,858

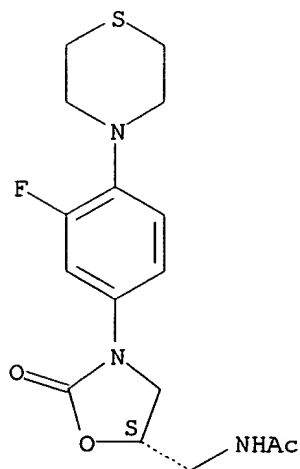


RE.CNT 6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

ID ANSWER 21 OF 27 CAPLUS COPYRIGHT 2002 ACS
 AN 1999:303019 CAPLUS
 DN 131:110943
 TI Activities of several novel oxazolidinones against Mycobacterium tuberculosis in a murine model
 AU Cynamon, M. H.; Klemens, S. P.; Sharpe, C. A.; Chase, S.
 CS Veteran Affairs Medical Center and State University of New York Health Science Center, Syracuse, NY, 13210, USA
 SO Antimicrobial Agents and Chemotherapy (1999), 43(5), 1189-1191
 CODEN: AMACCQ; ISSN: 0066-4804
 PB American Society for Microbiology
 DT Journal
 LA English
 AB The activities of linezolid, eperezolid, and PNU-100480 were evaluated in a murine model of tuberculosis. Approx. 107 viable M. tuberculosis ATCC 35801 organisms were given i.v. to 4-wk-old outbred CD-1 mice. In the first study, treatment was started 1 day postinfection and was given by gavage for 4 wk. Viable cell counts were detd. from homogenates of spleens and lungs. PNU-100480 was as active as isoniazid. Linezolid was somewhat less active than PNU-100480 and isoniazid. Eperezolid had little activity in this model. In the next 2 studies, treatment was started 1 wk postinfection. A dose-response study was performed with PNU-100480 and linezolid (both at 25, 50, and 100 mg/kg). PNU-100480 was more active than linezolid, and its efficacy increased with an escalation of the dose. Subsequently, the activity of PNU-100480 alone and in combination with rifampin or isoniazid was evaluated and was compared to that of isoniazid-rifampin. The activity of PNU-100480 was similar to that of isoniazid and(or) rifampin in the various combinations tested. Further evaluation of these oxazolidinones in the murine test system would be useful prior to the development of clin. studies with humans.
 IT 168828-58-8, PNU 100480
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (antituberculosis activities of novel oxazolidinones against Mycobacterium tuberculosis in murine model)
 RN 168828-58-8 CAPLUS
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

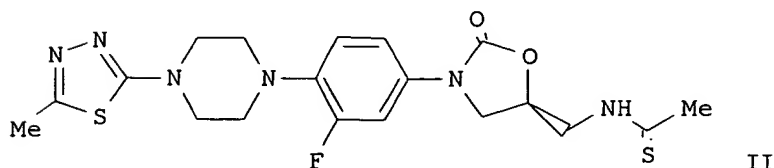
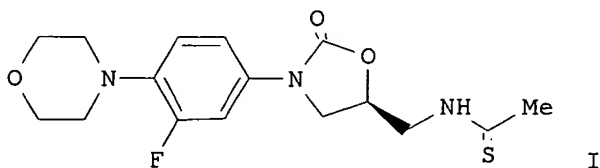


09/736,858

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~DS~~ ANSWER 22 OF 27 CAPLUS COPYRIGHT 2002 ACS
~~AN~~ 1998:794995 CAPLUS
~~DN~~ 130:38373
 TI Preparation of thiocarbonyloxazolidinones as antibacterial agents
 IN Hester, Jackson B., Jr.; Nidy, Eldon George; Perricone, Salvatore Charles;
 Poel, Toni-jo
 PA Pharmacia & Upjohn Company, USA; Hester, Jackson B., Jr.
 SO PCT Int. Appl., 118 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9854161	A1	19981203	WO 1998-US9889	19980518
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9874883	A1	19981230	AU 1998-74883	19980513
	AU 737995	B2	20010906		
	EP 984947	A1	20000315	EP 1998-922303	19980518
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	BR 9815518	A	20001121	BR 1998-15518	19980518
	JP 2002501530	T2	20020115	JP 1999-500722	19980518
	NO 9905846	A	20000128	NO 1999-5846	19991129
	FI 9902555	A	19991130	FI 1999-2555	19991130
PRAI	US 1997-48342P	P	19970530		
	WO 1998-US9889	W	19980518		
OS	MARPAT 130:38373				
GI					



AB Chiral title compds. AGCH2NHCSR [A is (un)substituted Ph, indolinyl; G is 2-oxo-5-oxazolidinyl; R is H, NH₂, alkyl, cycloalkyl, etc.] or pharmaceutical acceptable salts are prep'd., from amines with Lawesson's

Reagent or 1,1'-thiocarbonyldi-2(1H)-pyridone, as antibacterial agents.
 Title compds. I and II were tested in vitro by std. agar diln. method.

IT **216869-12-4**

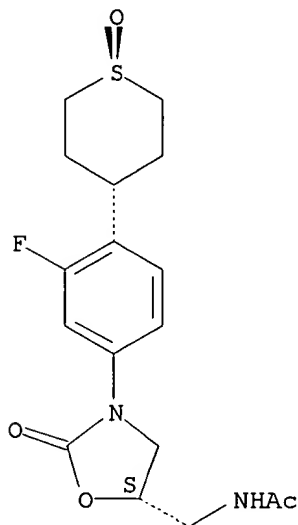
RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of thiocarbonyloxazolidinones as antibacterial agents)

RN 216869-12-4 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **216869-09-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

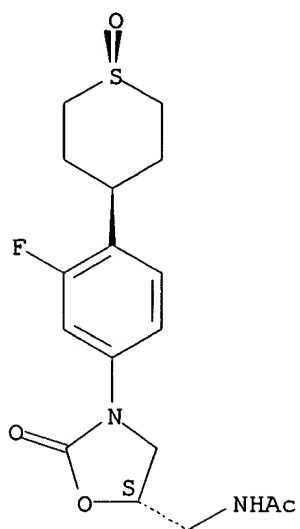
(prepn. of thiocarbonyloxazolidinones as antibacterial agents)

RN 216869-09-9 CAPLUS

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

09/736,858



RE.CNT 8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 23 OF 27 CAPLUS COPYRIGHT 2002 ACS

AN 1997:324112 CAPLUS

DN 126:293348

TI Preparation of 5-acylaminomethyl-3-(N-oxidoheterocyclyl)phenyl-2-oxazolidinones as antibacterial prodrugs

IN Gadwood, Robert C.; Kamdar, Bharat V.

PA Upjohn Co., USA; Gadwood, Robert C.; Kamdar, Bharat V.

SO PCT Int. Appl., 84 pp.

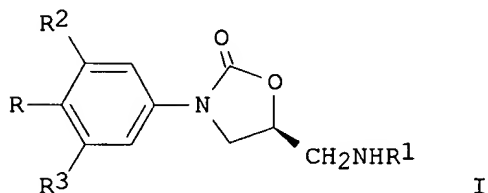
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9710223	A1	19970320	WO 1996-US14135	19960909
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI			
	AU 9669640	A1	19970401	AU 1996-69640	19960909
	JP 11512429	T2	19991026	JP 1996-511993	19960909
	EP 1019385	A1	20000719	EP 1996-930676	19960909
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI			
	US 6277985	B1	20010821	US 1996-709998	19960909
	US 2001051722	A1	20011213	US 2001-894019	20010628
PRAI	US 1995-3838P	P	19950915		
	US 1996-709998	A3	19960909		
	WO 1996-US14135	W	19960909		
OS	MARPAT 126:293348				
GI					



AB Title compds. [I; R = N-attached-N-oxido-hetero(bi)cyclyl; R1 = CHO, Ac, CO2Me, etc.; R2,R3 = H, F, Cl] were prepd. Thus, I (R = 4-hydroxyacetyl-1-piperazinyl, R1 = Ac, R2 = F, R3 = H) was oxidized to give I (R = 4-hydroxyacetyl-1-oxido-1-piperazinyl, R1 = Ac, R2 = F, R3 = H). Data for biol. activity of I were given.

IT **189038-43-5P 189038-44-6P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

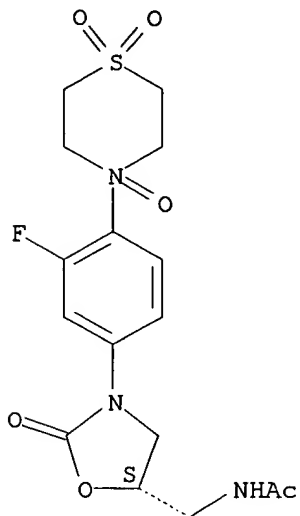
(prepn. of 5-acylaminomethyl-3-(N-oxidoheterocyclyl)phenyl-2-oxazolidinones as antibacterial prodrugs)

RN 189038-43-5 CAPLUS

09/736,858

CN Acetamide, N-[[3-[3-fluoro-4-(1,1,4-trioxido-4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-, (S)- (9CI) (CA INDEX NAME)

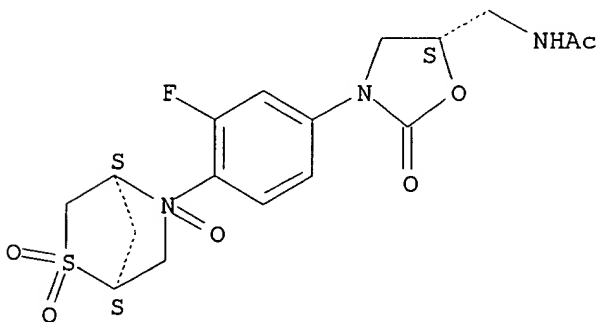
Absolute stereochemistry.



RN 189038-44-6 CAPLUS

CN Acetamide, N-[[3-[3-fluoro-4-(2,2,5-trioxido-2-thia-5-azabicyclo[2.2.1]hept-5-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-, [1S,4S,5(S)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~DS~~ ANSWER 24 OF 27 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1997:302929 CAPLUS

~~DN~~ 126:277463

TI Phenyloxazolidinones having a C-C bond to 4-8 membered heterocyclic rings, and their use as antimicrobials.

IN Hutchinson, Douglas K.; Ennis, Michael D.; Hoffman, Robert L.; Thomas, Richard C.; Poel, Toni-Jo; Barbachyn, Michael Robert; Brickner, Steven J.; Anderson, David J.

PA Upjohn Co., USA; Hutchinson, Douglas, K.; Ennis, Michael D.; Hoffman, Robert L.; Thomas, Richard C.; Poel, Toni-Jo; Barbachyn, Michael Robert; Brickner, Steven J.; Anderson, David J.

SO PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9709328	A1	19970313	WO 1996-US12766	19960813
	W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA			
	CA 2228647	AA	19970313	CA 1996-2228647	19960813
	AU 9667181	A1	19970327	AU 1996-67181	19960813
	AU 716493	B2	20000224		
	EP 856002	A1	19980805	EP 1996-927316	19960813
	EP 856002	B1	20011024		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI			
	CN 1197457	A	19981028	CN 1996-197155	19960813
	CN 1072222	B	20011003		
	BR 9610474	A	19990302	BR 1996-10474	19960813
	US 5968962	A	19991019	US 1996-696313	19960813
	JP 11512386	T2	19991026	JP 1996-511190	19960813
	AT 207487	E	20011115	AT 1996-927316	19960813
	ZA 9606935	A	19980216	ZA 1996-6935	19960815
	TW 419468	B	20010121	TW 1996-85110539	19960829
	FI 9800452	A	19980227	FI 1998-452	19980227
	NO 9800855	A	19980430	NO 1998-855	19980227
	US 6166056	A	20001226	US 1998-138205	19980824
	US 6051716	A	20000418	US 1999-247346	19990210
	US 6043266	A	20000328	US 1999-313468	19990517
	US 6313307	B1	20011106	US 2000-518788	20000303
	US 6358942	B1	20020319	US 2000-713670	20001115
PRAI	US 1995-3149P	P	19950901		
	US 1996-696313	A3	19960813		
	WO 1996-US12766	W	19960813		
	US 1998-138209	A3	19980824		
OS	MARPAT 126:277463				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Comps. of formula I, or their pharmaceutically acceptable salts, are claimed [wherein X = NR₁, S(O)_g, or O; R₁ = H, C1-6 alkyl [(un)substituted with 1 or more OH, cyano, or halo], arylalkyl, acyl, CO₂H or derivs., acyl, heterocyclyl, etc.; R₂ = H, C1-6 alkyl, aralkyl, halo; R₃, R₄ = H or halo; R₅ = H, C1-12 (halo)alkyl, C3-12 cycloalkyl, C1-6 alkoxy; m, n = 0-5; (m+n) = 1-5]. The comps. are useful as antimicrobial agents. For instance, Et cyanoacetate was arylated with 3,4-F₂C₆H₃NO₂ and alkylated with MeI (100%), followed by hydrogenation of the nitrile and nitro groups (97%), cyclization to an azetidinone (60%), redn. of the amide carbonyl, protection of both ring and sidechain N atoms as the di-Cbz deriv. (51%), lithiation with BuLi, and reaction with (R)-glycidyl butyrate (64%), to give intermediate alc. II. This alc. was converted to its mesylate ester (100%), which was ammonolyzed, followed by N-acetylation (84%), hydrogenolysis (99%), and reaction with Me chloroformate (77%), to give title compd. III. This compd. had an ED₅₀ comparable to vancomycin (5.00 mg/kg vs. 3.00 mg/kg, resp.) against Staphylococcus aureus, in vivo in mice.

IT 188974-61-0P 188974-75-6P

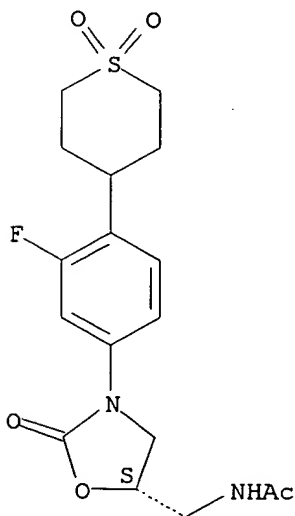
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (heterocyclylphenyl)oxazolidinone derivs. as antibacterials)

RN 188974-61-0 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

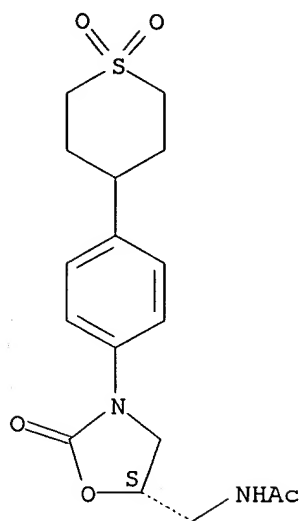
Absolute stereochemistry. Rotation (-).



RN 188974-75-6 CAPLUS

CN Acetamide, N-[[[(5S)-2-oxo-3-[4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



~~IN~~ ANSWER 25 OF 27 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1996:467068 CAPLUS

DN 125:142710

TI Preparation of [(azabicyclyl)phenyl]oxazolidinones as antibacterial agents

IN Barbachyn, Michael R.; Thomas, Richard C.; Cleek, Gary J.; Thomasco, Lisa Marie; Gadwood, Robert C.

PA Upjohn Co., USA

SO PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9615130	A1	19960523	WO 1995-US12751	19951031
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9538890	A1	19960606	AU 1995-38890	19951031
	AU 702733	B2	19990304		
	EP 792273	A1	19970903	EP 1995-938148	19951031
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	BR 9509673	A	19970930	BR 1995-9673	19951031
	CN 1163615	A	19971029	CN 1995-196252	19951031
	CN 1046520	B	19991117		
	JP 10508844	T2	19980902	JP 1995-516040	19951031
	RU 2128660	C1	19990410	RU 1997-110168	19951031
	NO 9702222	A	19970514	NO 1997-2222	19970514
	US 5952324	A	19990914	US 1997-51466	19970514
PRAI	US 1994-339979	A2	19941115		
	WO 1995-US12751	W	19951031		
OS	MARPAT 125:142710				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB [(Azabicyclyl)phenyl]oxazolidinones I (R1 = H, halo, methoxy; R2 = H, alkyl, etc.; X = O, S, etc.; a, b, c, d, e, f = integer) were disclosed as antimicrobial agents. I are effective against a no. of human and veterinary pathogens, including gram-pos. aerobic bacteria such as multiply-resistant staphylococci, streptococci and enterococci as well as anaerobic organisms such as Bacteroides spp. and Clostridia spp. species, and acid-fast organisms such as Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp. An example compd., II, was prepd. II was more effective than vancomycin in a test against Staphylococcus aureus.

IT 179339-65-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

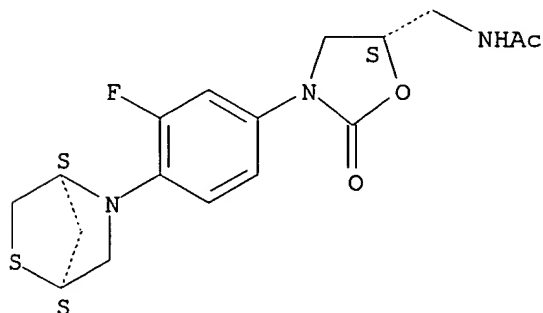
(prepn. of [(azabicyclyl)phenyl]oxazolidinones as biocides)

RN 179339-65-2 CAPLUS

09/736,858

CN Acetamide, N-[[(5S)-3-[3-fluoro-4-(1S,4S)-2-thia-5-azabicyclo[2.2.1]hept-5-ylphenyl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 179339-66-3P

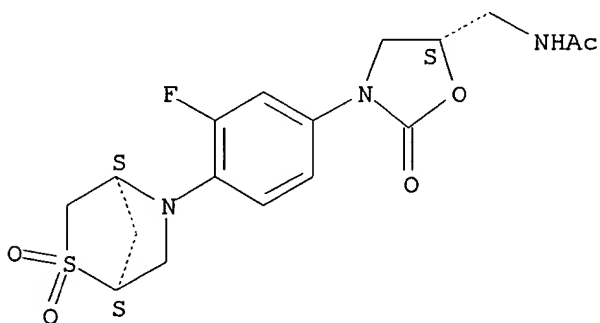
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [(azabicycyl)phenyl]oxazolidinones as biocides)

RN 179339-66-3 CAPLUS

CN Acetamide, N-[[(5S)-3-[4-[(1S,4S)-2,2-dioxido-2-thia-5-azabicyclo[2.2.1]hept-5-yl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)

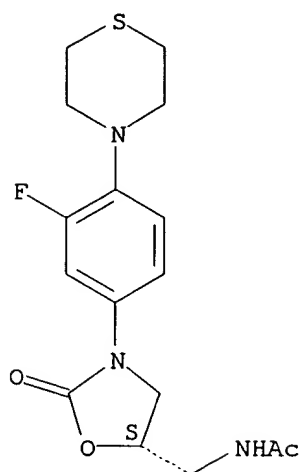
Absolute stereochemistry.



19 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2002 ACS
AN 1996:58413 CAPLUS
DN 124:232387
TI Identification of a Novel Oxazolidinone (U-100480) with Potent Antimycobacterial Activity
AU Barbachyn, Michael R.; Hutchinson, Douglas K.; Brickner, Steven J.; Cynamon, Michael H.; Kilburn, James O.; Klemens, Sally P.; Glickman, Suzanne E.; Grega, Kevin C.; Hendges, Susan K.; et al.
CS Upjohn Laboratories, Upjohn Company, Kalamazoo, MI, 49001, USA
SO J. Med. Chem. (1996), 39(3), 680-5
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB A subclass of oxazolidinone antibacterial agents with esp. potent in vitro activity against mycobacteria was discovered. The salient structural feature of these oxazolidinone analogs, U-100480 [i.e., (S)-N-[[3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide], U-101603, and U-101244 is their appended thiomorpholine moiety. The rational design, synthesis, and evaluation of the in vitro antimycobacterial activity of these analogs was described. Potent activity against a screening strain of Mycobacterium tuberculosis was demonstrated by U-100480 and U-101603 (min. inhibitory concns. or MIC's .ltoreq.0.125 .mu.g/mL). Oxazolidinones U-100480 and U-101244 exhibit MIC90 values of 0.50 .mu.g/mL or less against a panel of organisms consisting of five drug-sensitive and five multidrug-resistant strains of M. tuberculosis, with U-100480 being the most active congener. Potent in vitro activity against other mycobacterial species was also demonstrated by U-100480. For example, U-100480 exhibited excellent in vitro activity against multiple clin. isolates of Mycobacterium avium complex (MIC's = 0.5-4 .mu.g/mL). Orally administered U-100480 displays in vivo efficacy against M. tuberculosis and M. avium similar to that of clin. comparators isoniazid and azithromycin, resp. Consideration of these factors, along with a favorable pharmacokinetic and chronic toxicity profile in rats, suggests that U-100480 is a promising antimycobacterial agent (tuberculostatic).
IT **168828-58-8P 168828-59-9P 168828-60-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of (thiomorpholinyl)phenyl]oxazolidinones as antimycobacterial agents)
RN 168828-58-8 CAPLUS
CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

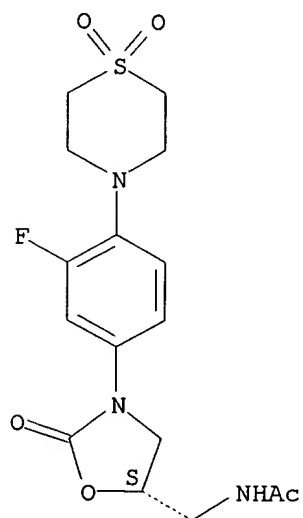
09/736,858



RN 168828-59-9 CAPLUS

CN Acetamide, N-[[3-[4-(1,1-dioxido-4-thiomorpholinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

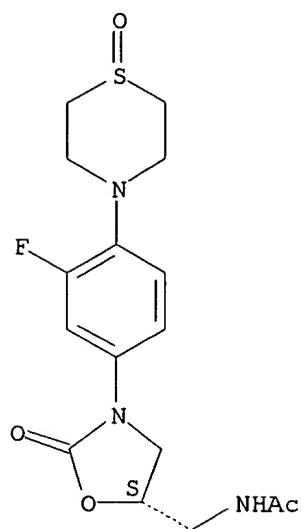
Absolute stereochemistry.



RN 168828-60-2 CAPLUS

CN Acetamide, N-[[3-[3-fluoro-4-(1-oxido-4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/736,858

13 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2002 ACS

AN 1995:846512 CAPLUS

DN 123:256742

TI Preparation of substituted oxazine- and thiazineoxazolidinone antibiotics

IN Barbachyn, Michael R.; Brickner, Steven J.; Hutchinson, Douglas K.

PA Upjohn Co., USA

SO PCT Int. Appl., 37 pp.

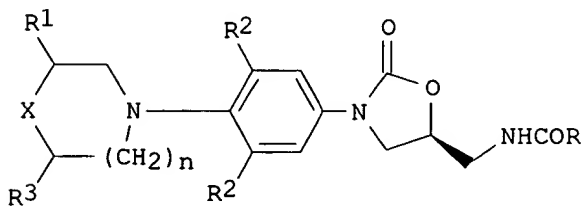
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9507271	A1	19950316	WO 1994-US8904	19940816
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	ZA 9405894	A	19960205	ZA 1994-5894	19940805
	CA 2168560	AA	19950316	CA 1994-2168560	19940816
	AU 9475570	A1	19950327	AU 1994-75570	19940816
	AU 687866	B2	19980305		
	EP 717738	A1	19960626	EP 1994-925765	19940816
	EP 717738	B1	19991020		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1130379	A	19960904	CN 1994-193313	19940816
	CN 1057087	B	20001004		
	JP 09502436	T2	19970311	JP 1994-508665	19940816
	AT 185804	E	19991115	AT 1994-925765	19940816
	ES 2139093	T3	20000201	ES 1994-925765	19940816
	JP 3176630	B2	20010618	JP 1995-508665	19940816
	IL 110802	A1	20000928	IL 1994-110802	19940829
	US 5688792	A	19971118	US 1996-617877	19960305
	US 5880118	A	19990309	US 1997-886965	19970702
	LV 12605	B	20010520	LV 2000-142	20001020
PRAI	US 1993-119279	A	19930909		
	US 1994-226158	A	19940411		
	WO 1994-US8904	W	19940816		
	US 1996-617877	A3	19960305		
OS	MARPAT 123:256742				
GI					



I

AB The title compds. [I; R = H, (un)substituted C1-8 alkyl, C3-6 cycloalkyl, (un)substituted NH2, C1-8 alkoxy; R1 = H except when X is O, then R1 = H, CH3, CN, CO2H, CO2R, etc.; R2 = H, F, Cl; R3 = H except when X is O and R1 is CH3, then R3 = CH3; X = O, S, SO, SO2, etc.; n = 0-2], useful as

antibiotics against gram-pos. aerobic bacteria (e.g., multiply resistant Staphylococci, Streptococci and Enterococci), as well as anaerobic organisms (e.g., Bacteroides species and Clostridia species), and acid-fast organisms (e.g., Mycobacterium tuberculosis, Mycobacterium avium etc.), are prepd. Thus, (S)-N-[[3-[3-fluoro-4-(thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide, prepd. from 3,4-difluoronitrobenzene in 6 steps, demonstrated a ED50 for S. aureus (UC no. 9213)-injected mice of 1.25 mg/kg, when administered p.o.

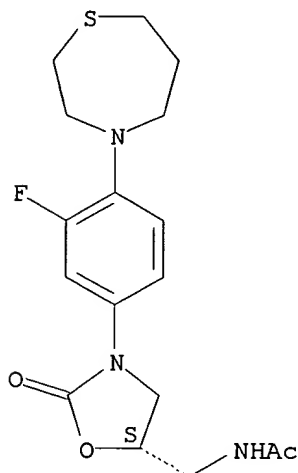
IT 168828-92-0 168828-93-1 168828-94-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(claimed compd.; prepn. of substituted oxazine- and thiazineoxazolidinone antibiotics)

RN 168828-92-0 CAPLUS

CN Acetamide, N-[[3-[3-fluoro-4-(tetrahydro-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

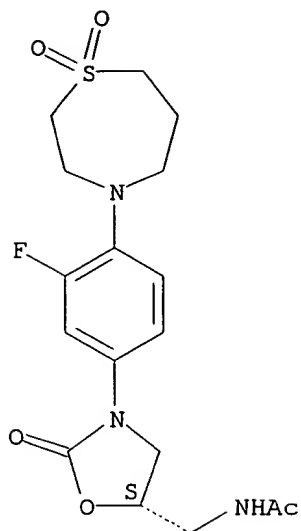
Absolute stereochemistry.



RN 168828-93-1 CAPLUS

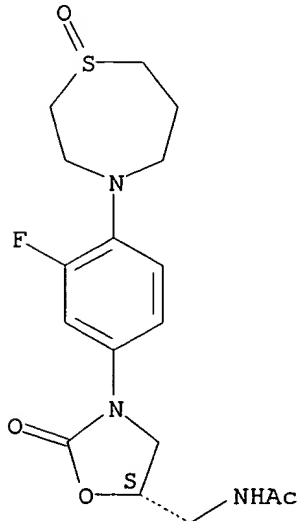
CN Acetamide, N-[[3-[3-fluoro-4-(tetrahydro-1,1-dioxido-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 168828-94-2 CAPLUS
 CN Acetamide, N-[[3-[3-fluoro-4-(tetrahydro-1-oxido-1,4-thiazepin-4(5H)-yl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, stereoisomer (9CI) (CA INDEX NAME)

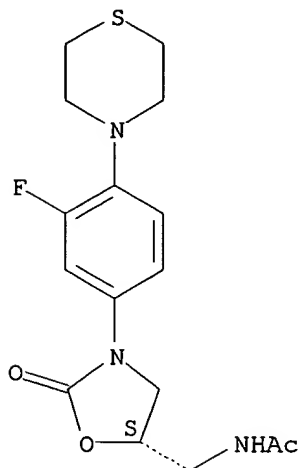
Absolute stereochemistry.



IT 168828-58-8P 168828-59-9P 168828-60-2P
 168828-62-4P
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of substituted oxazine- and thiazineoxazolidinone antibiotics)
 RN 168828-58-8 CAPLUS
 CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

09/736,858

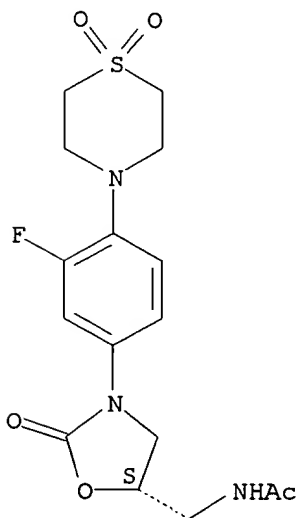
Absolute stereochemistry.



RN 168828-59-9 CAPLUS

CN Acetamide, N-[[3-[4-(1,1-dioxido-4-thiomorpholinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

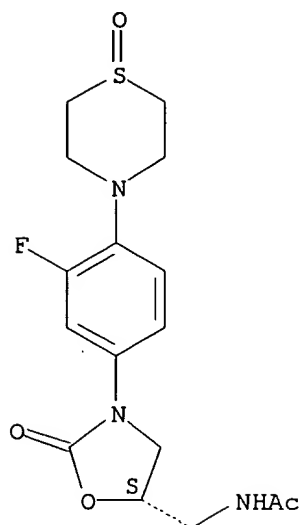
Absolute stereochemistry.



RN 168828-60-2 CAPLUS

CN Acetamide, N-[[3-[4-(1-oxido-4-thiomorpholinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 168828-62-4 CAPLUS

CN Acetamide, N-[[3-[4-[1,1-dihydro-1-[[4-(4-methylphenyl)sulfonyl]imino]-4-thiomorpholinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, (S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

